

U.S. Application # 10684735 EFD 10/14/03
Page 1

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Thesis Div
10167839 6/02
PR1.0: 60297282 6/01
for
1624

* * * * * Welcome to STN International * * * * *

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NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated
and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in
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NEWS 5 FEB 05 German (DE) application and patent publication number format
changes
NEWS 6 MAR 03 MEDLINE and LMedLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
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NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
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FILE 'HOME' ENTERED AT 13:27:47 ON 03 MAY 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:27:59 ON 03 MAY 2004
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STRUCTURE FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8
DICTIONARY FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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information enter HELP PROP at an arrow prompt in the file or refer
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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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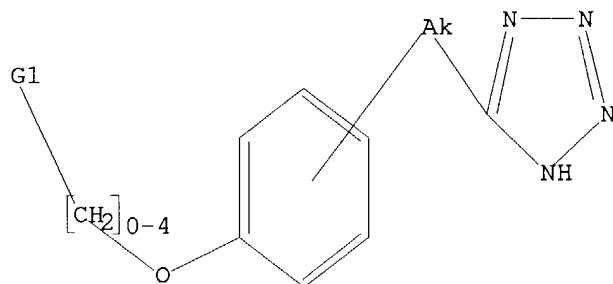
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 13:28:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 40460 TO ITERATE

100.0% PROCESSED 40460 ITERATIONS
SEARCH TIME: 00.00.01

157 ANSWERS

L2 157 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

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FILE COVERS 1907 - 3 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 2 May 2004 (20040502/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 68 L2

=> s l3 and phenyl

L4 26 L3 AND PHENYL

=> s l3 and cycloalkyl

L5 13 L3 AND CYCLOALKYL

=> s l3 and heterocycle

L6 3 L3 AND HETEROCYCLE

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:41315 CAPLUS

DN 140:105289

TI Cysteinyl leukotriene receptor antagonists for the treatment of respiratory diseases

IN Fujita, Manabu

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004004773	A1	20040115	WO 2003-JP8655	20030708
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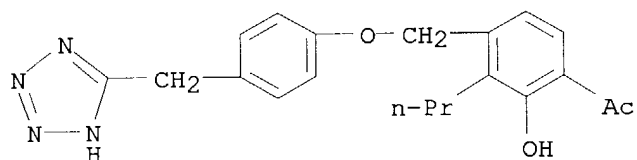
IT 97581-70-9, LY 163443

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cysteinyl leukotriene receptor antagonists for treatment of respiratory diseases)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB A remedy for respiratory diseases comprises an antagonistic compound to cysLT1 receptor and an antagonistic compound to cysLT2 receptor. A compound antagonistic to both of cysLT1 receptor and cysLT2 receptor or a preparation comprising the combined use of an antagonistic compound to cysLT1 receptor and an antagonistic compound to cysLT2 receptor is useful as a remedy for respiratory diseases. It is expected that such a remedy is highly useful as a remedy for respiratory diseases (for example, bronchial asthma, chronic obstructive pulmonary disease, etc.) which is superior in therapeutic effect to the existing cysLT1 receptor-selective antagonist. Pharmacol. activities of Bay-u9773 and montelukast sodium were studied using guinea pigs.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:796427 CAPLUS

DN 139:323535

TI Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivatives as modulating agents for liver X receptors (LXR)

IN Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Bhat, Ajita; Mcatee, John Jeffrey; Stavenger, Robert A.

heterocycloalkyl; X = CH₂O, CH₂CH₂O, (CH₂)₃, CH₂C.tplbond.C, CH₂CH:CH; Q = (substituted) (fused) aryl, heteroaryl; Y, Z = null, (CR₁R₂)_n, (CR₃R₄)_m; R₁-R₄ = H, halo, alkyl, OH, alkoxy; m, n = 1-3; B = H, halo, alkyl, haloalkyl, alkoxy; D = H, (substituted) arylamino, alkanoyl, PhCO, aryl, heteroaryl, cycloalkyl, heterocycloalkyl; E = COR₅; R₅ = alkyl, OH, alkoxy, amino, sulfonylamino, substituted heteroaryl, dioxothiazolyl, etc.; with provisos], were prepared Thus, (S)-tyrosine Me ester, 2,5-dimethoxytetrahydrofuran, and NaOAc were heated in aqueous HOAc at 100° for 20 min. to give 35% pyrrolotyrosine Me ester. This was stirred with 2-(5-methyl-2-phenyloxazol-4-yl)ethanol, Ph₃P, and di-Et azodicarboxylate in THF for 18 h to give 51% Me (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionate. The latter was stirred with LiOH in THF/H₂O to give 51% (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionic acid. In a 3T3-L1 adipocyte differentiation assay, title compds. at 5 µM showed 2-183% of the activity of BRL 49653 pos. control. A drug formulation is given.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:964135 CAPLUS

DN 138:24543

TI Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders

IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PA Wellstat Therapeutics Corporation, USA

SO PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
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	US 2004077896	A1	20040422	US 2002-167839	20020612
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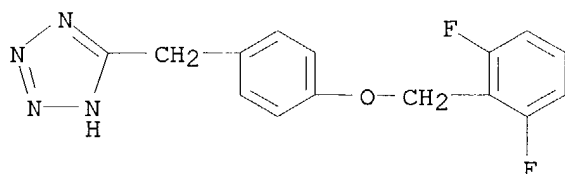
OS MARPAT 138:24543

IT **478162-73-1P**

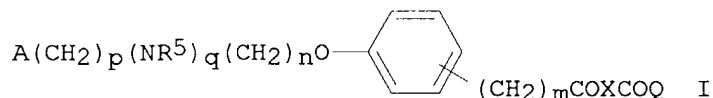
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyloxyphenyloxobutyrate and related compds. for treatment of metabolic disorders)

RN 478162-73-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[(2,6-difluorophenyl)methoxy]phenyl]methyl]- (9CI)
(CA INDEX NAME)

GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzoyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzoyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzoyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L3 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:504756 CAPLUS

DN 137:63175

TI Preparation of indolyloxyphenylacetates and related compounds as thyroid receptor ligands.

IN Haning, Helmut; Woltering, Michael; Schmidt, Gunter; Bischoff, Hilmar; Kretschmer, Axel; Voehringer, Verena; Faeste, Christiane

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 198 pp.

CODEN: PIXXD2

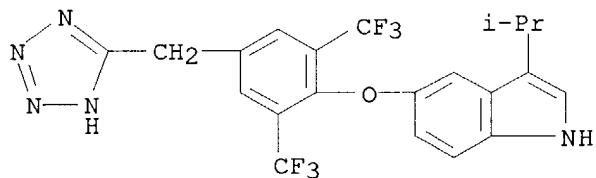
DT Patent

LA German

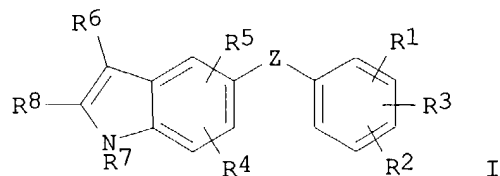
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 DE 2000-10065433A 20001227
 DE 2001-10130830A 20010627
 DE 2001-10130830 20010627
 DE 2000-10065433A120001227
 EP 1347959 A1 20031001 EP 2001-272011 20011214
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 DE 2000-10065433A 20001227
 DE 2001-10130830A 20010627
 WO 2001-EP14752W 20011214
 US 2001-26023 20011221
 DE 2000-10065433A 20001227
 DE 2001-10130830A 20010627
 OS MARPAT 137:63175
 IT **439612-23-4P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indolyloxyphenylacetates and related compds. as thyroid receptor ligands)
 RN 439612-23-4 CAPLUS
 CN 1H-Indole, 3-(1-methylethyl)-5-[4-(1H-tetrazol-5-ylmethyl)-2,6-bis(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



GI



AB Title compds. [I; Z = O, S, SO, OSO₂, CH₂, CHF, CF₂ NR₉; R₉ = H, alkyl; R₁, R₂ = H, halo, cyano, alkyl, CF₃, CHF₂, CH₂F, vinyl, cycloalkyl; R₃ = AmDnEoGpLR₁₀, etc.; A = O, S, NR₁₁, CR₁₂:CR₁₃; R₁₁ = H, alkyl; R₁₂, R₁₃ =

H, cyano, alkyl, alkoxy; D = (substituted) alkylene; E, L = CO, SO₂; G = NR₁₄; R₁₄ = H, (substituted) alkyl, alkylene; m, n, o, p = 0, 1; R₁₀ = (substituted) OR₁₅, NR₁₆R₁₇, alkyl, cycloalkyl, alkenyl, aryl, arylmethyl, heterocyclyl; R₁₅ R₁₆, R₁₇ = H, Ph, PhCH₂, alkyl, cycloalkyl, etc.; R₄, R₅ = H, OH, halo, cyano, NO₂, alkyl, NR₃₀R₃₁; R₃₀, R₃₁ = R₁₅; R₆ = H, halo, MaR₃₂; M = CO, SO₂, CH₂; a = 0, 1; R₃₂ = R₁₀; with provisos], were prepared Thus, 4-(3-isopropyl-1H-indol-5-yloxy)-3,5-bis(trifluoromethyl)phenylaceto nitrile (preparation given) was stirred at 105° in aqueous H₂SO₄ to give 15.3% 4-(3-isopropyl-1H-indol-5-yloxy)-3,5-bis(trifluoromethyl)phenylacetic acid. The latter in a T3 promoter assay showed EC₅₀ = 0.5 nM.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:327922 CAPLUS

DN 136:319783

TI Treatment for dermal skin atrophy using thyroid hormone compounds or thyroid hormone-like compounds

IN Lavin, Thomas N.

PA Karo Bio A.B., Swed.

SO U.S., 15 pp., Cont.-in-part of U.S. Ser. No. 973,627.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6380255	B1	20020430	US 2000-617052	20000714
				US 1995-481698	B219950607
				WO 1996-US9975	W 19960607
				US 1998-973627	A219980309
	WO 9640048	A2	19961219	WO 1996-US9975	19960607
	WO 9640048	A3	19971113		
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				US 1995-481698	A 19950607
EP 1398024	A2	20040317	EP 2003-22417	19960607	
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				US 1995-481698	A 19950607
				EP 1996-924268	A319961219
US 6221911	B1	20010424	US 1998-973627	19980309	
			US 1995-481698	B219950607	
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WO 2002005834	A3	20030501			
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US 2002123521	A1	20020905	US 2000-617052 A 20000714
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			US 2002-81397 20020225
			WO 1996-US9975 W 19960607
			US 1998-973627 A219980309
			US 2000-617052 A320000714

PATENT FAMILY INFORMATION:

FAN 1997:168537

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				US 1995-481698 A 19950607	
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				WO 1996-US9975 W 19960607	
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				US 1995-481698 A 19950607	
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				US 1995-481698 B219950607	
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PI	US 6221911	B1	20010424	US 1998-973627	19980309
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WO 9640048 A3 19971113
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US 1995-481698 A 19950607

EP 1398024 A2 20040317 EP 2003-22417 19960607
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US 1995-481698 A 19950607
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US 1995-481698 B219950607
WO 1996-US9975 W 19960607
US 1998-973627 A219980309
US 2002-81397 20020225
WO 1996-US9975 W 19960607
US 1998-973627 A219980309
US 2000-617052 A320000714

FAN 2002:71890
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002005834 A2 20020124 WO 2001-GB3182 20010716
WO 2002005834 A3 20030501

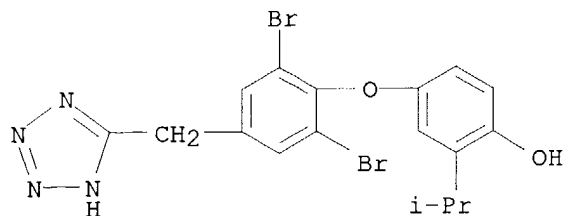
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2000-617052 A 20000714
US 2000-617052 20000714
US 1995-481698 B219950607
WO 1996-US9975 W 19960607
US 1998-973627 A219980309

EP 1328265 A2 20030723 EP 2001-949712 20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-617052 A 20000714
WO 2001-GB3182 W 20010716

IT **390362-08-0**, KB 067
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study);
USES (Uses)
(treatment for dermal skin atrophy using thyroid hormone compds. or
thyroid hormone-like compds. that bind to TR- α or TR- β)

RN 390362-08-0 CAPLUS

CN Phenol, 4-[2,6-dibromo-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-
methylethyl)- (9CI) (CA INDEX NAME)



AB The present invention is directed to a method for treating dermal atrophy of the skin. The method of the invention includes applying a composition to the skin of a mammal suffering from dermal atrophy of the skin, and comprising at least one thyroid hormone compound or thyroid hormone-like compound together with a pharmacol. acceptable base suitable for topical application, wherein the thyroid hormone compound or the thyroid hormone-like compound binds to TR- α or TR- β with an equilibrium dissociation constant, K_d , of at least 10^{-5} M.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:90009 CAPLUS

DN 136:134497

TI Synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases

IN Reid, Robert C.; Clark, Christopher I.; Hansford, Karl; Stoermer, Martin J.; McGeary, Ross P.; Fairlie, David P.

PA The University of Queensland, Australia

SO PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008189	A1	20020131	WO 2001-AU898	20010724
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	RW:				
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				AU 2000-8965	A 20000724
				AU 2000-1669	A 20001124
EP	1309552	A1	20030514	EP 2001-951251	20010724
	R:				
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				AU 2000-8965	A 20000724
				AU 2000-1669	A 20001124
				WO 2001-AU898	W 20010724
JP	2004503604	T2	20040205	JP 2002-514096	20010724
				AU 2000-8965	A 20000724
				AU 2000-1669	A 20001124

US 2004033995

A1 20040219

WO 2001-AU898 W 20010724

US 2003-333871 20030825

AU 2000-8965 A 20000724

AU 2000-1669 A 20001124

WO 2001-AU898 W 20010724

OS MARPAT 136:134497

IT **393569-38-5P**, (S)-7-Phenylheptanoic acid [1-(4-benzyloxybenzyl)-3-(1H-tetrazol-5-yl)propyl]amide

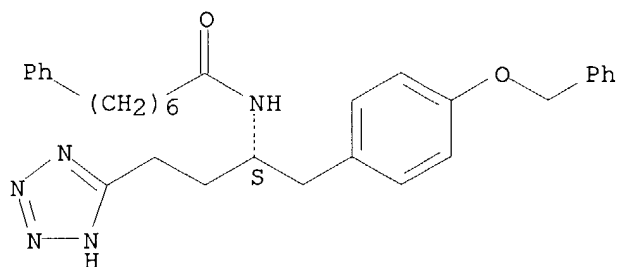
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases)

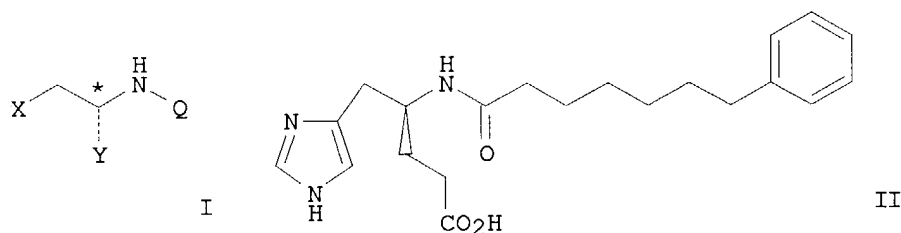
RN 393569-38-5 CAPLUS

CN Benzeneheptanamide, N-[(1S)-1-[[4-(phenylmethoxy)phenyl]methyl]-3-(1H-tetrazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB Title compds. I [X = CRR'CO₂H, CRR'-tetrazolyl, CRR'SO₃H, CRR'P(O)(OH)₂, CRR'P(O)(OH)(OR''), CHRCH₂CO₂H, CHRCH₂-tetrazolyl, CHRCH₂SO₃H, CHRCH₂P(O)(OH)₂, CHRCH₂P(O)(OH)(OR''), OP(O)(OH)R', NRSO₃H, NRP(O)(OH)₂, NRP(O)(OH)(OR''); R, R', R'' = H, (un)substituted alk(en/yn)yl, acyl, arylalkyl, cycloalkylalkyl, heterocyclalkyl, except that R'' is not hydrogen; Q = acyl, carboxamido, sulfonyl, sulfinyl, phosphinyl, etc.] were prepared. For example, II was synthesized from N-Boc-D-histidine in 11 steps. II had IC₅₀ = 2.5 μM for human non-pancreatic secretory phospholipase A₂ (sPLA₂). Homochiral and enantiomeric mixts. of I are useful for treatment of (e.g.) inflammatory diseases.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:71890 CAPLUS
 DN 136:113172
 TI Formulations containing thyroid hormones or thyroid hormone-like agonist compounds for treating dermatological conditions
 IN Lavin, Thomas N.
 PA Karo Bio AB, Swed.; Dean, John, Paul
 SO PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002005834	A2	20020124	WO 2001-GB3182	20010716
	WO 2002005834	A3	20030501		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6380255	B1	20020430	US 2000-617052 A	20000714
				US 2000-617052	20000714
				US 1995-481698 B2	19950607
				WO 1996-US9975 W	19960607
				US 1998-973627 A2	19980309
	EP 1328265	A2	20030723	EP 2001-949712	20010716
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2000-617052 A	20000714
				WO 2001-GB3182 W	20010716
PATENT FAMILY INFORMATION:					
FAN	1997:168537				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640048	A2	19961219	WO 1996-US9975	19960607
	WO 9640048	A3	19971113		
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	RW:	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
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				CA 1996-2223720	19960607
				US 1995-481698 A	19950607
	AU 9664769	A1	19961230	AU 1996-64769	19960607
				US 1995-481698 A	19950607
				WO 1996-US9975 W	19960607
	EP 831769	A2	19980401	EP 1996-924268	19960607
	EP 831769	B1	20031015		
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				WO 1996-US9975 W	19960607
	JP 11508241	T2	19990721	JP 1996-502122	19960607
				US 1995-481698 A	19950607

AT 251887	E	20031115	WO 1996-US9975 W 19960607
			AT 1996-924268 19960607
			US 1995-481698 A 19950607
EP 1398024	A2	20040317	WO 1996-US9975 W 19960607
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			EP 1996-924268 A319961219
			US 1998-973627 19980309
			US 1995-481698 B219950607
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			US 2000-617052 20000714
			US 1995-481698 B219950607
			WO 1996-US9975 W 19960607
US 2002123521	A1	20020905	US 1998-973627 A219980309
			US 2002-81397 20020225
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FAN 2001:297645			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI US 6221911	B1	20010424	US 1998-973627 19980309
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WO 9640048	A2	19961219	WO 1996-US9975 W 19960607
WO 9640048	A3	19971113	WO 1996-US9975 19960607
W: AU, CA, JP, KP, US			
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			EP 1996-924268 A319961219
			US 2000-617052 20000714
			US 1995-481698 B219950607
			WO 1996-US9975 W 19960607
US 2002123521	A1	20020905	US 1998-973627 A219980309
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			WO 1996-US9975 W 19960607
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			US 2000-617052 A320000714
FAN 2002:327922			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI US 6380255	B1	20020430	US 2000-617052 20000714
			US 1995-481698 B219950607
WO 9640048	A2	19961219	WO 1996-US9975 W 19960607
WO 9640048	A3	19971113	US 1998-973627 A219980309
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

US 6221911 B1 20010424 US 1995-481698 A 19950607
 EP 1996-924268 A3 19961219
 US 1998-973627 19980309
 US 1995-481698 B2 19950607
 WO 1996-US9975 W 19960607
 WO 2001-GB3182 20010716

WO 2002005834 A2 20020124
 WO 2002005834 A3 20030501

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

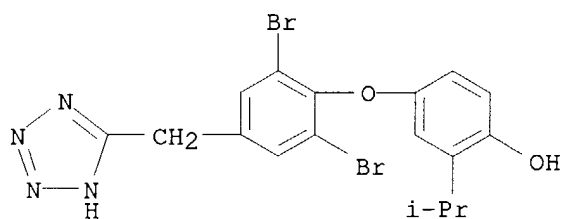
US 2000-617052 A 20000714
 EP 2001-949712 20010716

EP 1328265 A2 20030723
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US 2000-617052 A 20000714
 WO 2001-GB3182 W 20010716
 US 2002-81397 20020225
 WO 1996-US9975 W 19960607
 US 1998-973627 A2 19980309
 US 2000-617052 A3 20000714

IT **390362-08-0**
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (formulations containing thyroid hormones or thyroid hormone-like agonist compds. for treating dermatol. conditions)

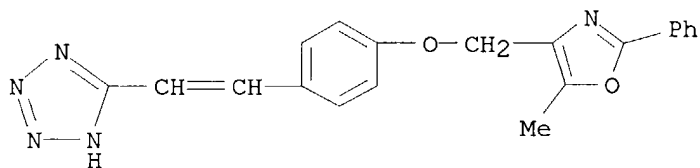
RN 390362-08-0 CAPLUS
 CN Phenol, 4-[2,6-dibromo-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



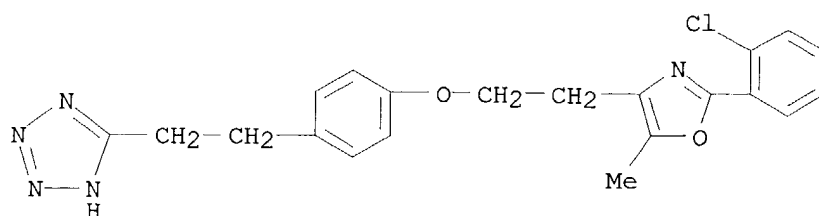
AB The present invention is directed to the use of at least one thyroid hormone compound or thyroid hormone-like agonist compound in the preparation of a topical medicament for the treatment of a dermatol. condition affecting the dermis. The thyroid hormone compound or the thyroid hormone-like agonist compound binds to TR- α or TR- β with an equilibrium dissociation constant, K_d , of less than 5×10^{-6} M. The invention is also directed to a composition for treating a dermatol. conditions affecting the dermis and to an article of manufacture comprising packaging material and a pharmaceutical agent

contained within the packaging material, wherein the pharmaceutical agent is therapeutically effective for treating such a condition. Use of at least one thyroid hormone or thyroid hormone-like agonist compound in the preparation of a topical medicament for the pre-treatment of skin in dermatol. surgery is also provided.

L3 ANSWER 13 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:19837 CAPLUS
 DN 136:350405
 TI Novel 5-substituted-1H-tetrazole derivatives as potent glucose and lipid lowering agents
 AU Momose, Yu.; Maekawa, Tsuyoshi; Odaka, Hiroyuki; Ikeda, Hitoshi; Sohda, Takashi
 CS Medicinal Chemistry Research Laboratories II, Takeda Chemical Industries, Ltd., Chuo-ku. Osaka, 540-8645, Japan
 SO Chemical & Pharmaceutical Bulletin (2002), 50(1), 100-111
 CODEN: CPBTAL; ISSN: 0009-2363
 PB Pharmaceutical Society of Japan
 DT Journal
 LA English
 IT **421558-67-0P**
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxazolyalkoxyphenylalkyltetrazoles as antihyperglycemic and antihyperlipidemic agents)
 RN 421558-67-0 CAPLUS
 CN 1H-Tetrazole, 5-[2-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]ethenyl]- (9CI) (CA INDEX NAME)

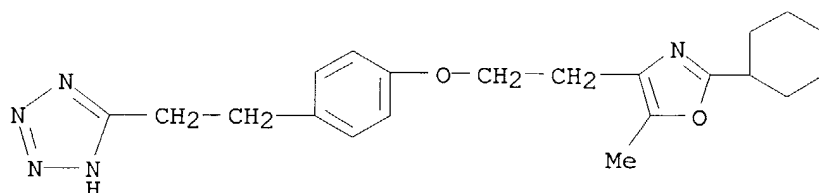


IT **166253-96-9P 166253-97-0P 166253-98-1P**
166253-99-2P 166254-00-8P 166254-01-9P
166254-03-1P 166254-06-4P 166254-07-5P
166254-08-6P 166254-09-7P 166254-13-3P
166254-19-9P 166254-21-3P 166254-23-5P
166254-25-7P 166254-28-0P 166254-29-1P
166254-30-4P 421558-50-1P 421558-51-2P
421558-52-3P 421558-54-5P 421558-60-3P
421558-64-7P 421558-65-8P 421558-66-9P
421558-68-1P 421558-69-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of oxazolyalkoxyphenylalkyltetrazoles as antihyperglycemic and antihyperlipidemic agents)
 RN 166253-96-9 CAPLUS
 CN 1H-Tetrazole, 5-[2-[4-[2-[2-(2-chlorophenyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



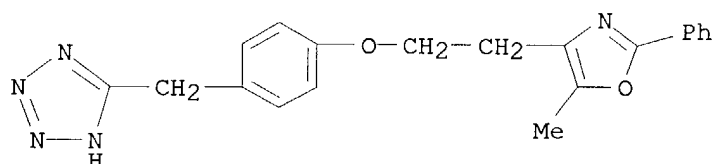
RN 166253-97-0 CAPLUS

CN 1H-Tetrazole, 5-[2-[4-[2-(2-cyclohexyl-5-methyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



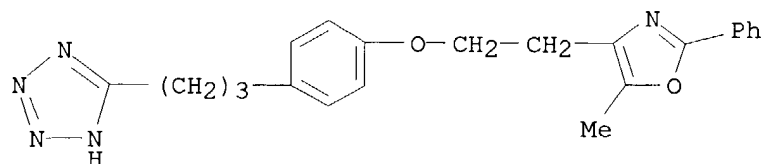
RN 166253-98-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



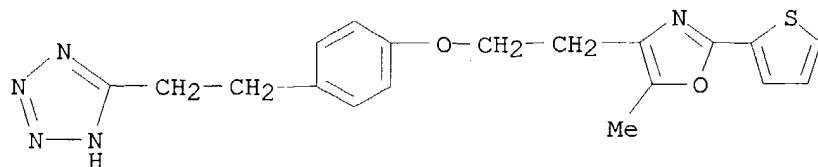
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CN 1H-Tetrazole, 5-[3-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



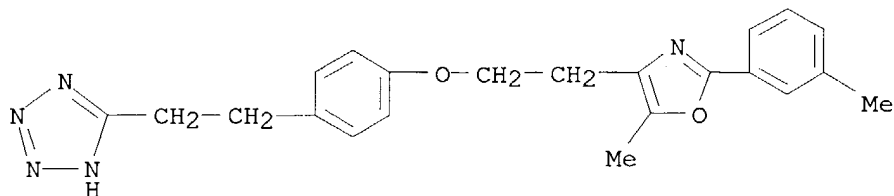
RN 166254-00-8 CAPLUS

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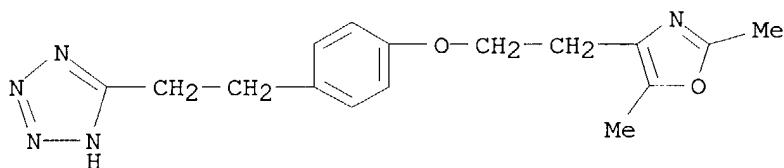
RN 166254-01-9 CAPLUS

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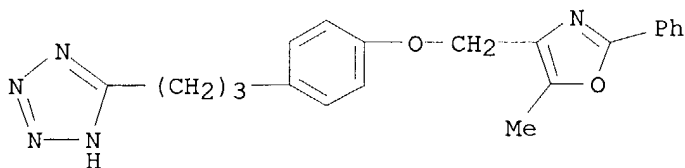
RN 166254-03-1 CAPLUS

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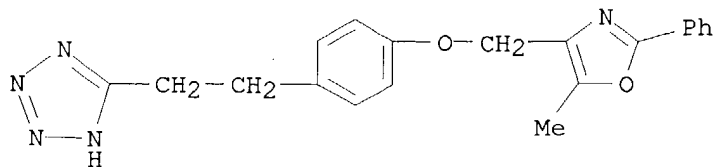
RN 166254-06-4 CAPLUS

CN 1H-Tetrazole, 5-[3-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



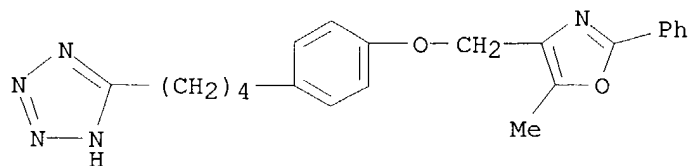
RN 166254-07-5 CAPLUS

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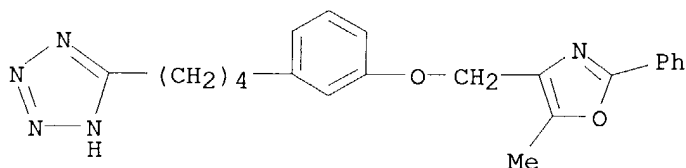
RN 166254-08-6 CAPLUS

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(9CI) (CA INDEX NAME)



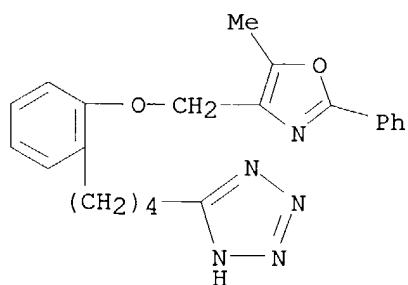
RN 166254-09-7 CAPLUS

CN 1H-Tetrazole, 5-[4-[3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]-
(9CI) (CA INDEX NAME)



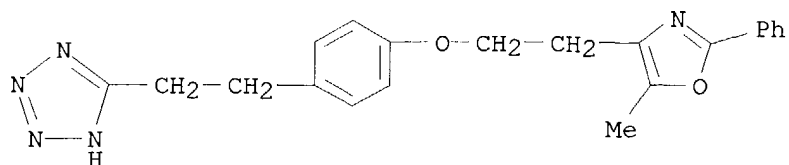
RN 166254-13-3 CAPLUS

CN 1H-Tetrazole, 5-[4-[2-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]-
(9CI) (CA INDEX NAME)



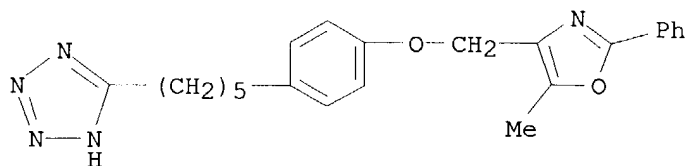
RN 166254-19-9 CAPLUS

CN 1H-Tetrazole, 5-[2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



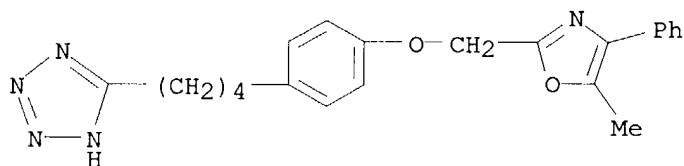
RN 166254-21-3 CAPLUS

CN 1H-Tetrazole, 5-[5-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]pentyl]- (9CI) (CA INDEX NAME)



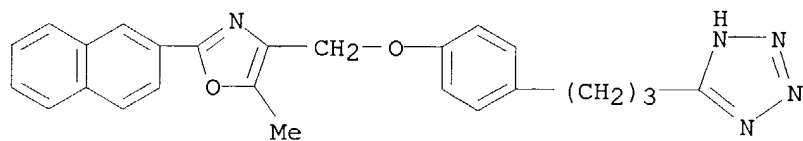
RN 166254-23-5 CAPLUS

CN 1H-Tetrazole, 5-[4-[4-[(5-methyl-4-phenyl-2-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



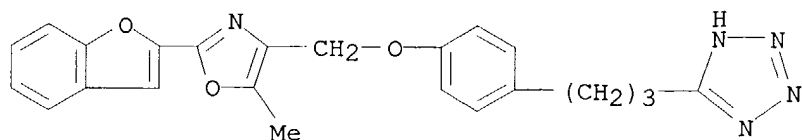
RN 166254-25-7 CAPLUS

CN 1H-Tetrazole, 5-[3-[4-[[5-methyl-2-(2-naphthalenyl)-4-oxazolyl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



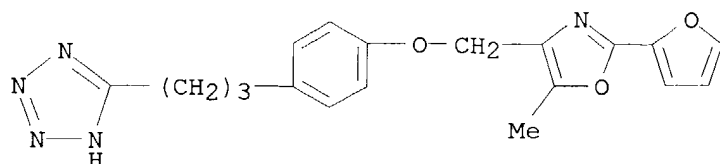
RN 166254-28-0 CAPLUS

CN 1H-Tetrazole, 5-[3-[4-[[2-(2-benzofuranyl)-5-methyl-4-oxazolyl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



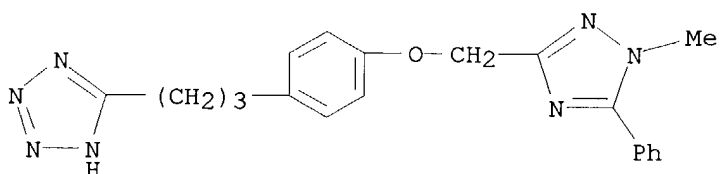
RN 166254-29-1 CAPLUS

CN 1H-Tetrazole, 5-[3-[4-[[2-(2-furanyl)-5-methyl-4-oxazolyl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



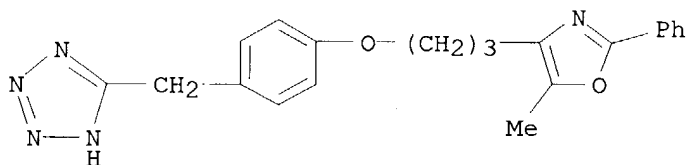
RN 166254-30-4 CAPLUS

CN 1H-Tetrazole, 5-[3-[4-[(1-methyl-5-phenyl-1H-1,2,4-triazol-3-yl)methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



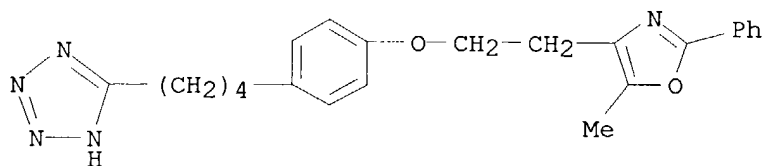
RN 421558-50-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[3-(5-methyl-2-phenyl-4-oxazolyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



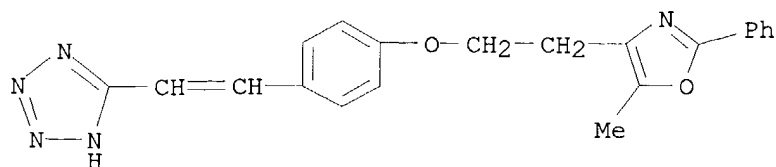
RN 421558-51-2 CAPLUS

CN 1H-Tetrazole, 5-[4-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



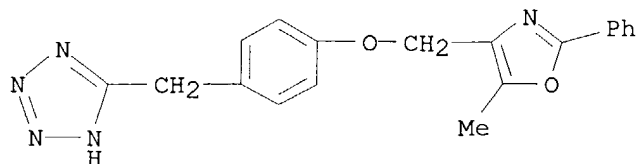
RN 421558-52-3 CAPLUS

CN 1H-Tetrazole, 5-[2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethenyl]- (9CI) (CA INDEX NAME)



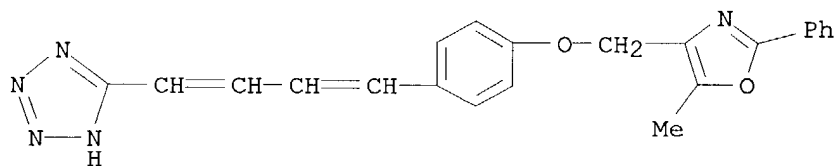
RN 421558-54-5 CAPLUS

CN 1H-Tetrazole, 5-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



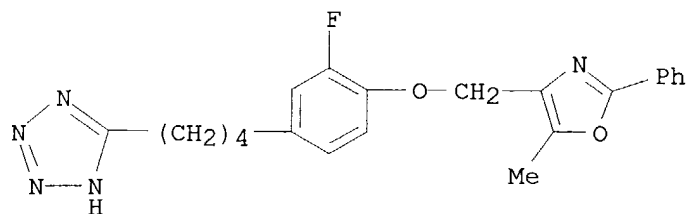
RN 421558-60-3 CAPLUS

CN 1H-Tetrazole, 5-[4-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]-1,3-butadienyl]- (9CI) (CA INDEX NAME)



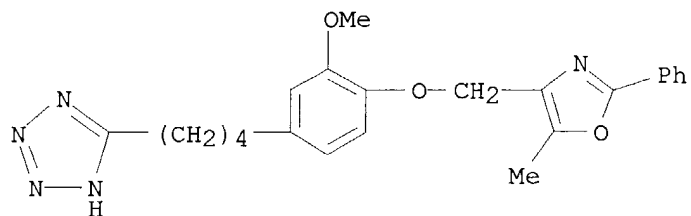
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CN 1H-Tetrazole, 5-[4-[3-fluoro-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



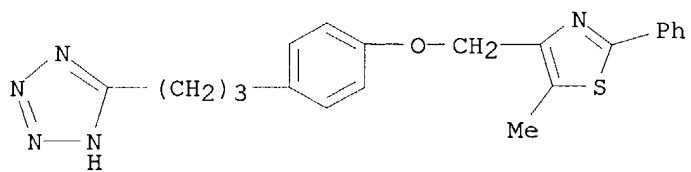
RN 421558-65-8 CAPLUS

CN 1H-Tetrazole, 5-[4-[3-methoxy-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



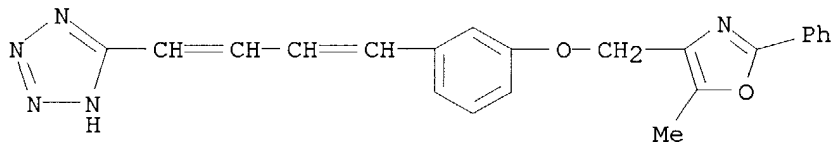
RN 421558-66-9 CAPLUS

CN 1H-Tetrazole, 5-[3-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



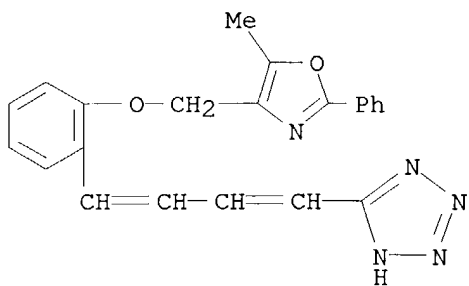
RN 421558-68-1 CAPLUS

CN 1H-Tetrazole, 5-[4-[3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]-1,3-butadienyl]- (9CI) (CA INDEX NAME)



RN 421558-69-2 CAPLUS

CN 1H-Tetrazole, 5-[4-[2-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]-1,3-butadienyl]- (9CI) (CA INDEX NAME)



GI

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:457018 CAPLUS
DN 133:89793
TI Preparation of 4-(4-hydroxyphenoxy)phenylacetyl amino acids and related compounds as novel thyroid receptor ligands
IN Hangeland, Jon; Zhang, Minsheng; Caringal, Yolanda; Ryono, Denis; Li, Yi-lin; Malm, Johan; Liu, Ye; Garg, Neeraj; Litten, Chris; Garcia Collazo, Ana Maria; Koehler, Konrad
PA Karo Bio AB, Swed.; et al.
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000039077	A2	20000706	WO 1999-IB2084	19991223
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				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	EP 1144370	A2	20011017	EP 1999-962486	19991223
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	JP 2002533432	T2	20021008	JP 2000-590990	19991223
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				WO 1999-IB2084 W	19991223
	AU 758202	B2	20030320	AU 2000-18855	19991223
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	NZ 512422	A	20040227	NZ 1999-512422	19991223
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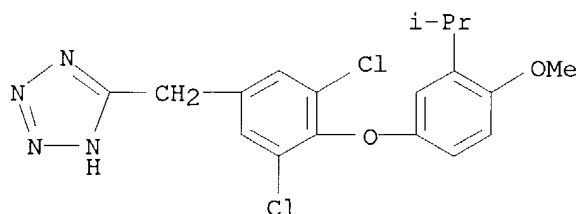
IT **280779-34-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hydroxyphenoxy)phenylacetyl amino acids and related compds. as novel thyroid receptor ligands)

RN 280779-34-2 CAPLUS

CN 1H-Tetrazole, 5-[[3,5-dichloro-4-[4-methoxy-3-(1-methylethyl)phenoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

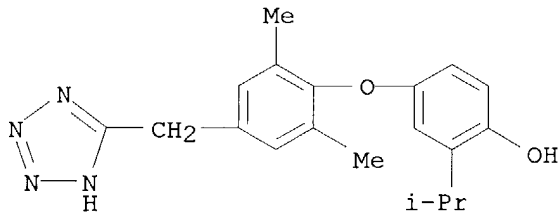
IT **280777-05-1P 280777-06-2P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hydroxyphenoxy)phenylacetyl amino acids and related compds. as novel thyroid receptor ligands)

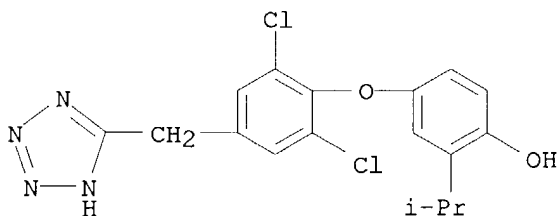
RN 280777-05-1 CAPLUS

CN Phenol, 4-[2,6-dimethyl-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

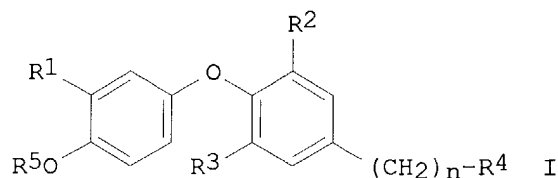


RN 280777-06-2 CAPLUS

CN Phenol, 4-[2,6-dichloro-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



GI



AB Title compds. I [R1 = halo, trifluoromethyl, alkyl, cycloalkyl; R2, R3 = H, halo, alkyl, at least one of R2 and R3 being other than H; n = 0-4; R4 is an (un)substituted heteroarom. moiety linked to (CH2)n via a nitrogen or carbon atom; an amine, including those in which the amine is derived from an alpha amino acid of either L- or D-stereochem., an acylsulfonamide, or a carboxylic acid amide, with the proviso that when n = 0, then R4 can only be a carboxylic acid amide or an acylsulfonamide; R5 is H or an acyl or other group capable of bioconversion to generate the free phenol structure] were prepared for use in the treatment of diseases associated with metabolism dysfunction or which are dependent on the expression of a T3 regulated gene (such as obesity, hypercholesterolemia, atherosclerosis, depression, osteoporosis, hypothyroidism, goiter, thyroid cancer, glaucoma, cardiac arrhythmia, and congestive heart failure). Thus, coupling of 3,5-dibromo-4-(4-hydroxy-3-isopropylphenoxy)phenylacetic acid with D-methionine Me ester hydrochloride followed by hydrolysis afforded N-[3,5-dibromo-4-(4-hydroxy-3-isopropylphenoxy)phenylacetyl]-D-methionine.

L3 ANSWER 22 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:94931 CAPLUS

DN 132:265154

TI New Azolidinediones as Inhibitors of Protein Tyrosine Phosphatase 1B with Antihyperglycemic Properties

AU Malamas, Michael S.; Sredy, Janet; Gunawan, Iwan; Mihan, Brenda; Sawicki, Diane R.; Seestaller, Laura; Sullivan, Donald; Flam, Brenda R.

CS Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA

SO Journal of Medicinal Chemistry (2000), 43(5), 995-1010

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT **263568-07-6P**

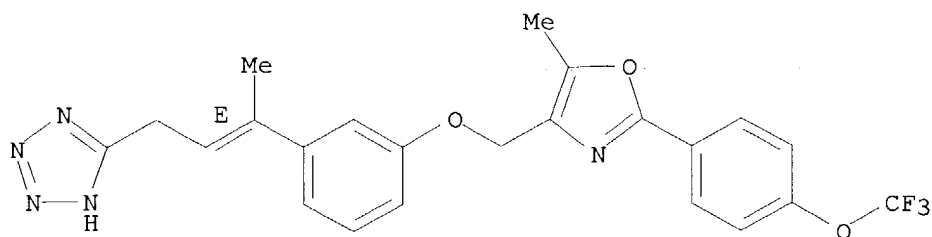
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of phenyloxazolyalkoxyphenylalkyloxazolidinediones as protein tyrosine phosphatase inhibitors)

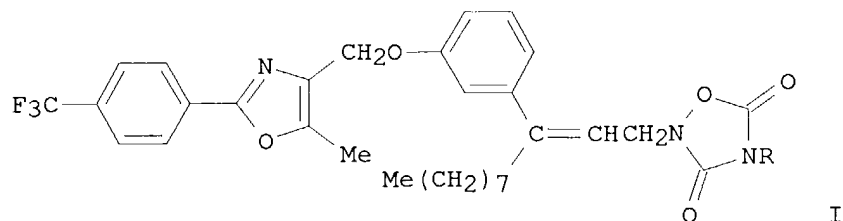
RN 263568-07-6 CAPLUS

CN 1H-Tetrazole, 5-[(2E)-3-[3-[[5-methyl-2-[4-(trifluoromethoxy)phenyl]-4-oxazoly]methoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



I

AB Insulin resistance in the liver and peripheral tissues together with a pancreatic cell defect are the common causes of type 2 diabetes. It is now appreciated that insulin resistance can result from a defect in the insulin receptor signaling system, at a site post binding of insulin to its receptor. Protein tyrosine phosphatases (PTPases) have been shown to be neg. regulators of the insulin receptor. Inhibition of PTPases may be an effective method in the treatment of type 2 diabetes. A series of azolidinediones has been prepared as protein tyrosine phosphatase 1B (PTP1B) inhibitors. Several compds. were potent inhibitors against the recombinant rat and human PTP1B enzymes with submicromolar IC₅₀ values. Elongated spacers between the azolidinedione moiety and the central aromatic portion of the mol. as well as hydrophobic groups at the vicinity of this aromatic region were very important to the inhibitory activity. Oxadiazolidinediones (E)- and (Z)-I [R = H, CH₂CO₂H] were the best h-PTP1B inhibitors with IC₅₀ values in the range of 0.12-0.3 μ M. Several compds. normalized plasma glucose and insulin levels in the ob/ob and db/db diabetic mouse models.

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:282096 CAPLUS

DN 130:320864

TI PPAR- γ -binding quinoline derivatives, their preparation, and their therapeutic use

IN Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 8

PATENT NO.

KIND DATE

APPLICATION NO. DATE

Patel

<5/3/2004>

PI	WO 9920275	A1	19990429	WO 1998-US21947	19981016
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1997-62318P P 19971017 US 1997-65902P P 19971117 CA 2306825 AA 19990429 CA 1998-2306825 19981016 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016 AU 9896961 A1 19990510 AU 1998-96961 19981016 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016 ZA 9809465 A 20000417 ZA 1998-9465 19981016 US 1997-62318P P 19971017 EP 1030665 A1 20000830 EP 1998-951075 19981016 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016 BR 9814087 A 20001003 BR 1998-14087 19981016 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016 JP 2001520193 T2 20011030 JP 2000-516672 19981016 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016 US 6376512 B1 20020423 US 2000-490897 20000127 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947A1 19981016 NO 2000001962 A 20000616 NO 2000-1962 20000414 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016 BG 104432 A 20001229 BG 2000-104432 20000515 US 1997-62318P P 19971017 US 1997-65902P P 19971117 WO 1998-US21947W 19981016				

PATENT FAMILY INFORMATION:

FAN 1997:549278

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724117	A1	19970710	WO 1997-US264	19970102
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AU 9715298	A1	19970728	US 1996-9484P P 19960102		
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EP 871439	A1	19981021	WO 1997-US264 W 19970102		
EP 871439	B1	20040331	EP 1997-901388 19970102		
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			US 1996-9484P P 19960102		
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US 6057369	A	20000502	WO 1997-US264 W 19970102		
			US 1997-928943 19970912		
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US 6133409	A	20001017	WO 1997-US264 A119970102		
			US 1998-103872 19980624		
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			WO 1997-US264 A119970102		
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US 6392010	B1	20020521	WO 1997-US23920A219971217		
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			US 1996-32453P P 19961219		
			US 1996-33881P P 19961224		
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			US 1997-928943 A219970912		
			WO 1997-US23920A219971217		
			US 1998-103872 A219980624		
US 2002183558	A1	20021205	WO 1999-US14251A219990623		
US 6710208	B2	20040323	US 2002-107771 20020327		
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			US 1996-33881P P 19961224		
			WO 1997-US264 A119970102		
			US 1997-928943 A219970912		
			WO 1997-US23920A219971217		
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			US 1999-469829 A319991222		
FAN	1998:485029				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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PI WO 9829376	A1	19980709	WO 1997-US23920	19971217	
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CN 1240418	A	20000105	CN 1997-180752 19971217
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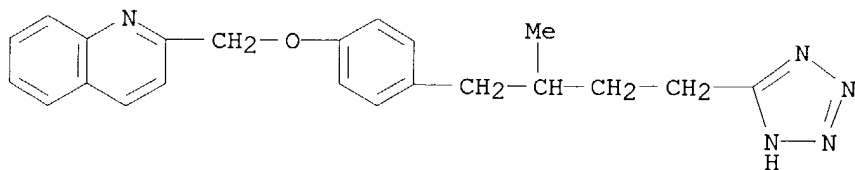
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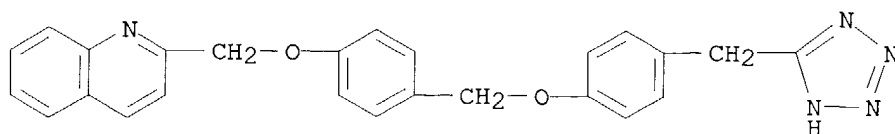
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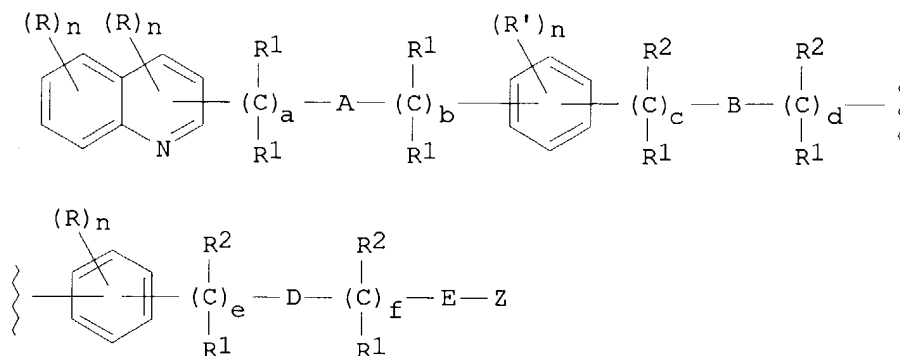


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GI



I

AB A method for mediating the activity of PPAR- γ receptor comprises contacting the PPAR- γ receptor with I [A = O, S, (R₁)C=C(R₁), bond; B = O, S, SO, SO₂, NR₁, bond; D = O, S, NR₁, (R₁)C=C(R₁), bond; E = bond; a = 0-2; b = 0, 1; c = 0-4; d = 0-5; e = 0-4; f = 0-5; n = 0-2; R = H; R' = H; R₁ = H; R₂ = (CH₂)_qX, or two vicinal R₂ taken together with the carbon atoms through which the two vicinal R₂ are linked form cycloalkylene, etc.; q = 0-3; X = H]. Preparation of I is described. The compds. may be used to treat cardiovascular conditions, diabetes, hyperlipidemia, hypertension, eating disorders, etc.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:184126 CAPLUS

DN 130:237567

TI Preparation of phenylalkanoic acid derivatives as peroxisome proliferator-activated receptor controllers

IN Tajima, Hisao; Nakayama, Yoshisuke; Fukushima, Daikichi

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 252 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911255	A1	19990311	WO 1998-JP3760	19980825
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,				

UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			JP 1997-233158	19970828
			JP 1997-348825	19971218
AU 9887502	A1	19990322	AU 1998-87502	19980825
			JP 1997-233158	19970828
			JP 1997-348825	19971218
			WO 1998-JP3760	19980825

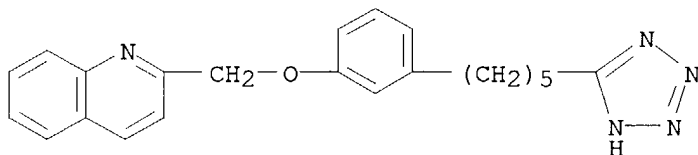
OS MARPAT 130:237567

IT **221268-22-0P**

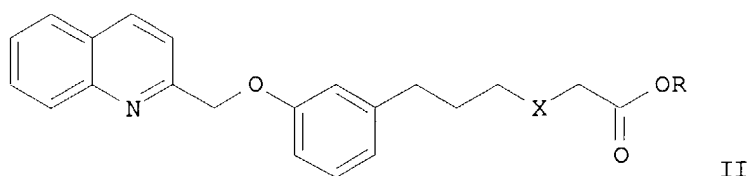
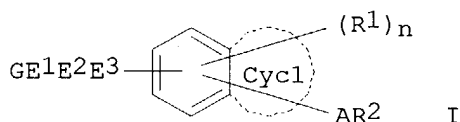
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)

RN 221268-22-0 CAPLUS

CN Quinoline, 2-[[3-[5-(1H-tetrazol-5-yl)pentyl]phenoxy)methyl]- (9CI) (CA INDEX NAME)



GI



AB Claimed are peroxisome proliferator-activated receptor controllers containing as the active ingredient compds. represented by general formula [I; R1 = C1-8 alkyl or alkoxy, halo, NO2, CF3; R2 = CO2H, C1-4 alkoxy carbonyl, 1H-tetrazol-5-yl; A = single bond, :CH, C1-8 alkylene or C2-8 alkenylene, :CH-C1-8 alkylene, or :CH-C2-8 alkenylene (wherein one of C1-8 alkylene or C2-8 alkenylene carbon atoms is optionally replaced with S, SO, SO2, O, NH, or alkyl-N); G = (un)substituted carbocyclic or heterocyclic; E1 = single bond, C1-8 alkylene, C2-8 alkenylene, C2-8 alkynylene; E2 = O, S, NH, C1-8 alkyl-N; E3 = single bond, C1-8 alkylene; n = 0,1; ring Cycl =

absent, saturated, partially saturated, or unsatd. 5- to 7-membered carbocyclic ring; some provisos are given], nontoxic salts thereof, acid addition salts thereof or hydrates of the same. Because of the activity of controlling a peroxisome proliferator-activated receptor, the compds. of general formula I are useful as hypoglycemic agents, lipid-lowering agents, HDL cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, risk factor decreasing agents for diabetes and syndrome X, and preventives and/or remedies for diseases caused by metabolic errors, such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipoproteinemia, hyperlipemia, arteriosclerosis, hypertension, circulatory diseases, hyperphagia, and ischemic heart diseases. Thus, 5.98 g Me 6-(3-hydroxyphenyl)hexanoate (preparation given) was stirred with K₂CO₃ in DMF at room temperature for 5 min and

then with 2-chloromethylquinoline hydrochloride 7.49, NaI 4.44, and Cs₂CO₃ 8.77 g at room temperature for 3 h to give Me 6-[3-(quinolin-2-ylmethoxy)phenyl]hexanoate (II; X = CH₂, R = Me). Preparation of 329 compds. I by the solid phase method on Wang resin was also described. II (X = S, R = H) mixed in a feed was fed to mice at 159 mg/kg/day for 8 consecutive days. The blood sugar level was 431±76.4, 309.4±99.5, and 324.5±26.6 mg/dL on day 0, 6, and 9, resp., vs. 440.7±102.7, 442.6±108.3, and 518.8±48.6 mg/dL, resp., for the control. The blood triglyceride level was 429.2±80.6, 248.8±64.7, and 260.6±71.2 mg/dL on day 0, 6, and 9, resp., vs. 436.1±97.5, 367.6±64.1, and 272.3±48.2 mg/dL, resp., for the control. A tablet and an ampule formulation containing II (X = CH₂, R = H) were described.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:739153 CAPLUS

DN 128:70373

TI Monoclonal antibodies as surrogate receptors in a high throughput screen for compounds that enhance insulin sensitivity

AU Bright, Stuart W.; Gold, Gerald; Sage, Scott W.; Sportsman, J. Richard; Tinsley, Frank C.; Dominianni, Samuel J.; Schmiegell, Klaus K.; Kellam, Marcia L.; Fitch, Lora L.; Yen, Terence T.

CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA

SO Life Sciences (1997), 61(23), 2305-2315

CODEN: LIFSAK; ISSN: 0024-3205

PB Elsevier

DT Journal

LA English

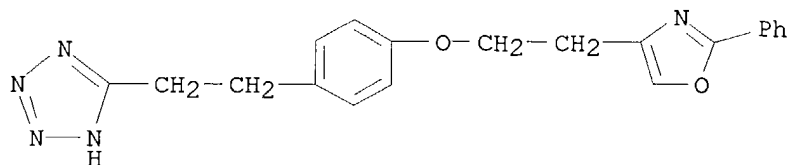
IT **200572-13-0**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(monoclonal antibodies as surrogate receptors in high throughput screen for insulin sensitivity enhancers in relation to hypoglycemic activity and binding to peroxisome proliferator-activating receptors)

RN 200572-13-0 CAPLUS

CN 1H-Tetrazole, 5-[2-[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI)
(CA INDEX NAME)

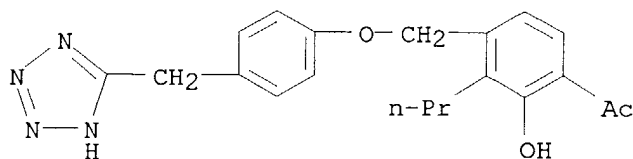


AB Monoclonal antibodies (MoAbs) were made to a known insulin sensitivity enhancer (ISE) compound, CS-045. The MoAbs were characterized with respect to binding other known thiazolidinedione ISE compds. using a CS-045 labeled with b-phycoerythrin in a competitive particle concentration fluorescence

immunoassay (PCFIA). By comparing the rank order of IC50 values for each compound to its resp. potency as an ISE, one MoAb (13E3) was selected for further characterization. This MoAb was also used as a surrogate receptor in a high throughput screen to identify novel compds. that compete for binding to CS-045. Some of the hits were found to have efficacy in reducing blood glucose. Subsequently, another group reported that several compds. with the core thiazolidinedione structure of the ISE compds. bound with high affinity to peroxisome proliferator-activating receptors (PPAR). Therefore, the authors used the MoAb assay to test these and other compds. that are known to bind to PPAR γ and noted crossreactivity with some of the compds.

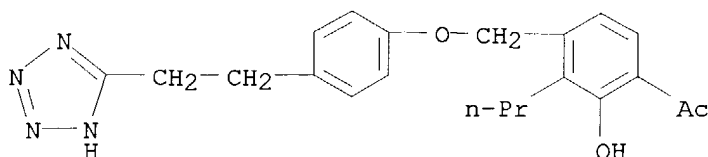
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:555602 CAPLUS
DN 127:257045
TI Competitive particle concentration fluorescence immunoassays for measuring antidiabetic drug levels in mouse plasma
AU Bright, Stuart W.; Tinsley, Frank C.; Dominianni, Samuel J.; Schmiegel, Klaus K.; Fitch, Lora L.; Gold, Gerald
CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Journal of Immunological Methods (1997), 207(1), 23-31
CODEN: JIMMBG; ISSN: 0022-1759
PB Elsevier
DT Journal
LA English
IT **97581-70-9 97581-72-1 196079-46-6**
RL: ANT (Analyte); ANST (Analytical study)
(competitive particle concentration fluorescence immunoassays for measuring antidiabetic drug levels in mouse plasma)
RN 97581-70-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



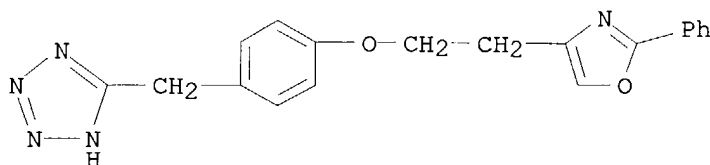
RN 97581-72-1 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 196079-46-6 CAPLUS

CN 1H-Tetrazole, 5-[[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



AB Two competitive particle concentration fluorescence immunoassays were developed to measure blood levels of analogs of antidiabetic drugs being tested in diabetic mice. Ligands that contained the active pharmacophores were conjugated to PPD for immunization and to β -phycoerythrin for use as a tracer in the immunoassays. Approx. 90% of 262 compds. assayed were detectable at less than 120 nM in plasma which was well below the estimated therapeutic level of 1 μ M for lowering blood glucose. These data were used to define the bioavailability of test compds. and assist in decisions of constructing active analogs. Of addnl. interest, we noted crossreactivity of one monoclonal antibody for 3 different compound classes that are all known to bind with varying affinities to peroxisome proliferator-activated receptors.

L3 ANSWER 27 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:399264 CAPLUS

DN 127:75985

TI Effects of progesterone and leukotriene receptor antagonists in experimental models of P-glycoprotein-related resistance

AU Nuessler, V.; Pelka-Fleischer, R.; Zwierzina, H.; Wilmanns, W.; Denzlinge, C.

CS Klinikum Grosshadern, Medizinische Klinik und Poliklinik III, Munich, Germany

SO European Journal of Medical Research (1997), 2(4), 159-164
CODEN: EJMRFL; ISSN: 0949-2321

PB I. Holzapfel Publishers

DT Journal

LA English

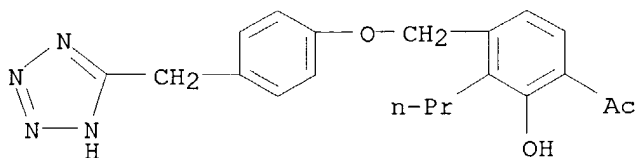
IT **97581-70-9**, Ly-163443

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(progesterone and leukotriene receptor antagonists effect in multidrug resistance exptl. models of P-glycoprotein-related resistance)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB P-glycoprotein (P-gp)-related resistance is one of the most intensively investigated mechanisms of multidrug resistance, but the search for better modulators and better modulator combinations has just begun. The present work was performed to determine whether leukotriene LTD4 /LTE4 receptor antagonists such as FPL-55712, Ly-163443, Ly-171883, MK-571 and the progesterone receptor antagonist RU-38486 are potential P-gp modulators in models of P-gp-related resistance. Addnl., the P-gp modulating potency of the combination of RU-38486 and verapamil was investigated. P-gp expression was determined with the monoclonal antibody 4E3.16, and functional activity was assessed by the Rhodamine123 (R123) accumulation assay. Efficacy of the modulators was determined with the MTT test and the R123 accumulation assay. The in vitro exams. were done in the P-gp-resistant human T-lymphoblastic cell lines CCRF-CEM/ ACT400 and CCRF-CEM/VCR1000. No P-gp-modulating effect was observed with Ly-163443, Ly-171883, FPL-55712 or MK-571. A significant cytotoxicity of the examined modulators per se (without actinomycin D or vincristine) was demonstrated only for verapamil at a concentration of 10µM. At a concentration of 10µM a significant P-gp modulating effect was observed with RU-38486, which was even more pronounced than the effect of verapamil as determined by the MTT test. Using the R123 accumulation assay it was shown that the combination of RU-38486 (6µM and 10µM) and verapamil additively increased the percentage of accumulating cells. This additive effect was reflected by a significantly enhanced efficacy of the combination of drugs with respect to inhibition of cell proliferation. The data presented advocate testing of new potential P-gp modulator combinations, such as RU-38486 and verapamil, with the aim of increasing efficacy and simultaneously reducing side effects.

L3 ANSWER 28 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:231091 CAPLUS

DN 126:212376

TI Preparation of aminoacyl adenylate mimics as novel antimicrobial and antiparasitic agents

IN Hill, Jason M.; Yu, Guixue; Shue, Youe-Kong; Zydowsky, Thomas M.; Rebek, Julius, Jr.

PA Cubist Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DT Patent

LA English

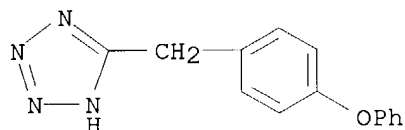
FAN.CNT 1

PATENT NO.

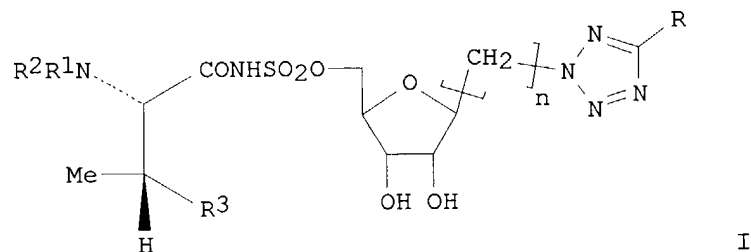
KIND DATE

APPLICATION NO. DATE

PI WO 9705132 A1 19970213 WO 1996-US11910 19960718
 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
 ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
 LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
 SE, SG
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
 IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
 US 1995-1649P P 19950728
 US 1996-14881P P 19960404
 US 1996-683809 A 19960716
 US 1996-683809 19960716
 AU 1996-65006 19960718
 US 1995-1649P P 19950728
 US 1996-14881P P 19960404
 US 1996-683809 A 19960716
 WO 1996-US11910W 19960718
 US 5726195 A 19980310
 AU 9665006 A1 19970226
 OS MARPAT 126:212376
 IT **188022-44-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of aminoacyl adenylate mimics as novel antimicrobial and
 antiparasitic agents)
 RN 188022-44-8 CAPLUS
 CN 1H-Tetrazole, 5-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



GI



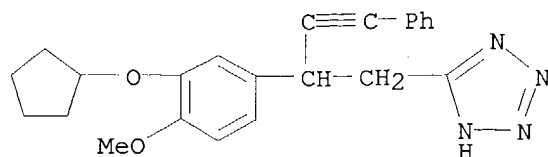
I

AB Aminoacyl adenylate mimics I (R = amino, alkyl, aryl, cycloalkyl, alkoxy,
 aryloxy; R1, R2 = alkyl, aryl, carboalkoxy; alkylthiocarbonyl, carboxamido,
 acyl; R3 = Et, OMe; n = 1, 2) are described. An exemplary compound of this
 invention is [S-(R*, R*)]-3,6-anhydro-1,2-dideoxy-1-[5-[4-[(5-nitro-2-
 thienyl)ethynyl]phenyl]-2H-tetrazol-2-yl]-D-allo-heptitol
 7-(2-amino-3-methyl-1-oxopentyl)sulfamate. These compds. inhibit
 isoleucyl-tRNA synthetases and are useful as antimicrobial and
 antiparasitic agents such as multi-drug resistant Streptococcus pyogenes

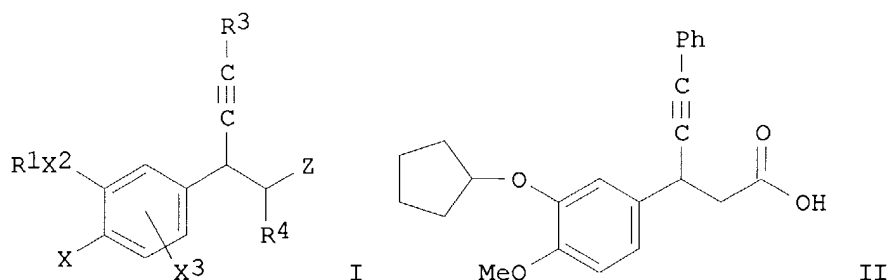
(IC50 = 0.3-11 nM).

L3 ANSWER 29 OF 68 CAPLUS · COPYRIGHT 2004 ACS on STN
 AN 1997:224040 CAPLUS
 DN 126:211918
 TI Substituted pent-4-ynoic acids useful for inhibiting production of tumor
 necrosis factor (TNF)
 IN Christensen, Siegfried B., IV; Karpinski, Josph M.; Frazee, James S.
 PA Smithkline Beecham Corporation, USA; Christensen, Siegfried B., IV.;
 Karpinski, Josph M.; Frazee, James S.
 SO PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9703945	A1	19970206	WO 1996-US11613	19960712
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG,				
	KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG,				
	SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,				
	IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,				
	MR, NE, SN, TD, TG				
				US 1995-1196P	P 19950714
				US 1996-16717P	P 19960502
	AU 9664903	A1	19970218	AU 1996-64903	19960712
				US 1995-1196P	P 19950714
				US 1996-16717P	P 19960502
				WO 1996-US11613W	19960712
	EP 827495	A1	19980311	EP 1996-924459	19960712
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, FI				
				US 1995-1196P	P 19950714
				US 1996-16717P	P 19960502
				WO 1996-US11613W	19960712
	US 6037367	A	20000314	US 1998-716359	19980914
				US 1995-1196P	P 19950714
				US 1996-16717P	P 19960502
				WO 1996-US11613W	19960712
OS	MARPAT 126:211918				
IT	188008-33-5P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted pentynoic acids useful as inhibitors of TNF production)				
RN	188008-33-5 CAPLUS				
CN	1H-Tetrazole, 5-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-phenyl-3- butynyl]- (9CI) (CA INDEX NAME)				



GI



AB Title compds. I [R1 = wide variety of sidechains containing esters, amides, ethers, and a variety of functional groups; X = YR2, F, (di)(alkyl)amino, formylamino; Y = O, S, SO, SO2; X2 = O, NH, (fluoro)(alkyl)imino; X3 = H, X; Z = acyl, CO2H and derivs., NH2 and derivs., certain (un)substituted azoles; R2 = Me, Et, or their halo derivs.; R3 = H, alkyl, Ph, phenylalkyl, pyrimidyl(alkyl), imidazolyl(alkyl); R4 = H, acyl, CO2H or esters, CONH2 or derivs., OH or SH or derivs.] and their pharmaceutically acceptable salts are claimed, and approx. 140 examples were prepared As inhibitors of the enzyme PDE IV (no data), I are useful for treatment of allergy, inflammation, and asthma. As inhibitors of TNF (tumor necrosis factor) production in mammals (no data), I are also useful for treating viral infections (including HIV) and yeast or fungal infections which are sensitive to TNF. For instance, the acid II was prepared in 3 steps. Specifically, 2,2-dimethyl-1,3-dioxane-4,6-dione was condensed with 3-(cyclopentyloxy)-4-methoxybenzaldehyde to give the 5-benzylidene derivative (93%), which underwent alkynylation with PhC.tplbond.CLi (84%), followed by hydrolysis with aqueous HCl in dioxane, and thermal decarboxylation in AcNMe2 at 135° (82%), to give II.

L3 ANSWER 30 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:547222 CAPLUS

DN 125:237599

TI A proposed common spatial pharmacophore and the corresponding active conformations of some peptide leukotriene receptor antagonists

AU Hariprasad, V.; Kulkarni, Vithal M.

CS Pharmaceutical Div., Dep. Chem. Technology, Univ. Bombay, Bombay, 400019, India

SO Journal of Computer-Aided Molecular Design (1996), 10(4), 284-292
CODEN: JCADEQ; ISSN: 0920-654X

PB ESCOM

DT Journal

LA English

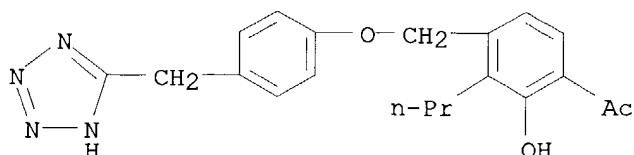
IT 97581-70-9, LY163443

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(proposed common spatial pharmacophore and corresponding active conformations of peptide leukotriene receptor antagonists determined by QSAR)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB Mol. modeling studies were carried out by a combined use of conformational anal. and 3D-QSAR methods to identify mol. features common to a series of hydroxyacetophenone (HAP) and non-hydroxyacetophenone (non-HAP) peptide leukotriene (pLT) receptor antagonists. In attempts to develop a ligand-binding model for the pLT receptor, the Apex-3D program was used to identify biophoric structural patterns that are common to 13 diverse sets of compds. showing different levels of biol. activity. A systematic conformational anal. was carried out to obtain sterically accessible conformations for these flexible compds. Apex-3D was then utilized to propose common biophoric regions based on the selection of one of several conformations (MOPAC-minimized AM1) from each compound's data set that best fits the biophoric pattern and the resulting superimposition with all the other data-set compds. Apex-3D identified three common biophoric features important for activity: one as the hydroxyl, acetyl, carbonyl and carboxyl groups, which mimic the acid-binding region of an agonist, the other as the hydrogen-bond donating site, and the third part is represented by a plane in which lipophilic aromatic groups align. The structure-activity relationships were then assessed by using the 3D-QSAR model. A common biophore model is proposed from the Apex-3D anal. which may be useful in designing new pLT antagonists. Mol. vols. and electrostatic potential similarities were also calculated to obtain the important structural requirements for the activity.

L3 ANSWER 31 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:326164 CAPLUS

DN 125:10826

TI Preparation of p-[(phenoxy or benzyloxy)phenoxy]benzylazole derivatives for lowering blood sugar

IN Niigata, Kunihiro; Takahashi, Takumi; Maruyama, Tatsuya; Suzuki, Takayuki; Onda, Kenichi; Konya, Tooru; Noshiro, Osamu

PA Yamanouchi Pharma Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI JP 08059638 A2 19960305 JP 1994-202503 19940826
JP 1994-202503 19940826

OS MARPAT 125:10826

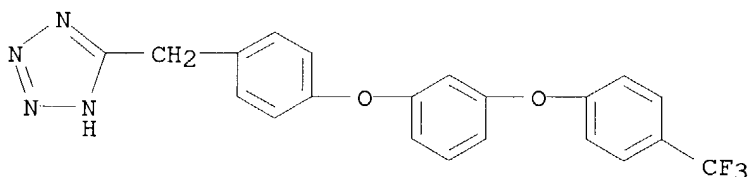
IT **177031-80-0P 177031-81-1P 177031-92-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of p-[(phenoxy or benzyloxy)phenoxy]benzylazole derivs. for lowering blood sugar as antidiabetics)

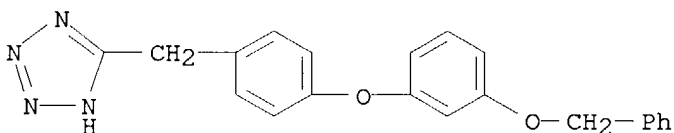
RN 177031-80-0 CAPLUS

CN 1H-Tetrazole, 5-[[4-[3-[4-(trifluoromethyl)phenoxy]phenoxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



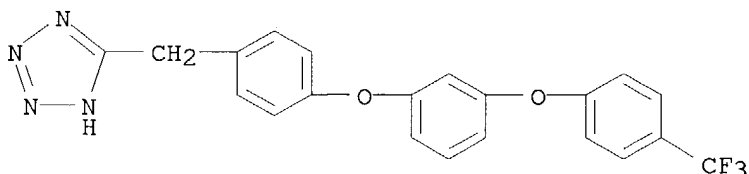
RN 177031-81-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[3-(phenylmethoxy)phenoxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



RN 177031-92-4 CAPLUS

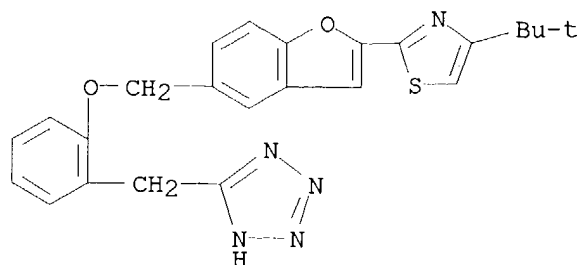
CN 1H-Tetrazole, 5-[[4-[3-[4-(trifluoromethyl)phenoxy]phenoxy]phenyl]methyl]-(9CI) (CA INDEX NAME), monosodium salt (9CI) (CA INDEX NAME)



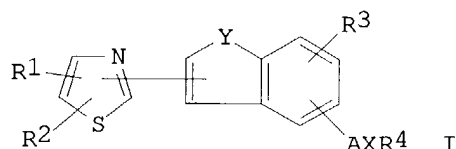
● Na

GI For diagram(s), see printed CA Issue.

AB The title compds. (I; ring A = imidazolyl, tetrazolyl, Q, Q1; wherein X = O, S, NH; Y = N, CH; R1 = H, halo, lower alkyl, lower hydroxyalkyl, lower alkoxy, CF3, NO2, CO2H, lower alkoxy carbonyl, CH2 NHCONHCO2R5, CH:NOH; wherein R5 = H, lower alkyl; R2, R3 = H, halo; R4 = H, HO; n = 0,1), which lower blood sugar based on the enhancement of insulin sensitivity, have



GI



AB Title compds. I (R1 = (substituted) alkyl, tricycloalkyl (substituted) aryl, heterocyclyl; R2 = H, halo; R1R2 with the adjacent carbons from cycloalkyl or N-containing substituted heterocyclyl; R3 = H, halo, HO, alkyl, alkoxy; R4 = H, acyl, NC, (substituted) aryl, (substituted) alkyl, etc.; A = alkylene, alkenylene, bond; X = bond, O, S; Y = O, S) and a salt thereof, possessing leukotriene antagonistic activity and useful for treatment(or) prevention of allergy and inflammation (no data), are prepared 4-Tert-butyl-2-[5-[[2-(chloromethyl)phenyl]methoxy]benzofurane-2-yl]thiazole KCN and Adogen 464 in MePh/H₂O were refluxed for 4 h to give the cyanomethyl derivative which with 40% aqueous KOH in carbitol was heated at 110-120° for 1.5 h to give after workup the title compound 4-tert-butyl-2-[5-[[2-(carboxymethyl)phenyl]methoxy]benzofuran-2-yl]thiazole (II). II inhibited 3H-leukotrien D₄ receptor binding with IC₅₀ of 1.38 + 10⁻⁴M.

L3 ANSWER 37 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:490271 CAPLUS

DN 117:90271

TI Preparation of certain 3,3'-[[[(2-phenyl-4-thiazolyl)methoxy]phenyl]methylene]dithiobis(propanoic acid) derivatives and related compounds as leukotriene antagonists and lipoxygenase inhibitors

IN Musser, John H.; Bender, Reinhold H. W.; Kreft, Anthony F., III; Nelson, James A.

PA American Home Products Corp., USA

SO U.S., 18 pp. Cont.-in-part of U.S. 4,895,953.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5103014	A	19920407	US 1990-525418	19900518
				US 1987-103224	19870930
				US 1989-311558	19890215
	US 4826990	A	19890502	US 1987-103224	19870930

Patel

<5/3/2004>

US 4942236 A 19900717

US 1989-311558 19890215

US 1987-103224 19870930

PATENT FAMILY INFORMATION:

FAN 1989:553787

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310370	A1	19890405	EP 1988-309014	19880929
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4826990	A	19890502	US 1987-103224	19870930
	AU 8822896	A1	19890406	US 1987-103224	19870930
	AU 611714	B2	19910620	AU 1988-22896	19880928
				US 1987-103224	19870930
	GB 2210368	A1	19890607	GB 1988-22839	19880929
	GB 2210368	B2	19920325		
				US 1987-103224	19870930
	JP 01143856	A2	19890606	JP 1988-248900	19880930
				US 1987-103224	19870930
	US 4895953	A	19900123	US 1989-311011	19890215
				US 1987-103224	19870930

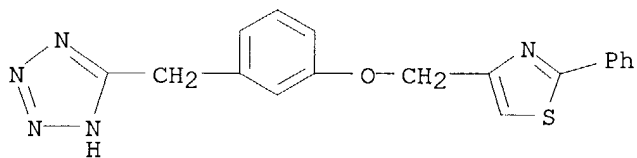
OS MARPAT 117:90271

IT **122994-31-4P**

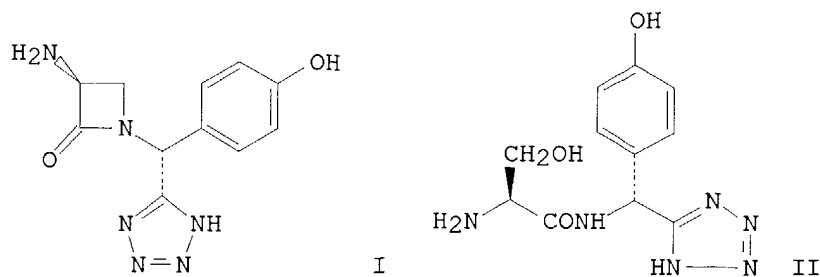
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as lipxygenase inhibitor and leukotriene antagonist)

RN 122994-31-4 CAPLUS

CN 1H-Tetrazole, 5-[[3-[(2-phenyl-4-thiazolyl)methoxy]phenyl)methyl]- (9CI)
 (CA INDEX NAME)



GI



10 (b7)

AB The synthesis of the title tetrazole analog I is described. Peptide analog II was also prepared

L3 ANSWER 45 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:478185 CAPLUS

DN 113:78185

TI Preparation of 2-(phenoxyethyl)quinolines and analogs as antiallergic and antiinflammatory agents

IN Musser, John H.; Kubrak, Dennis M.; Kreft, Anthony F., III; Bender, Reinhold H. W.

PA American Home Products Corp., USA

SO U.S., 13 pp. Cont.-in-part of U.S. 4,772,703.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4904786	A	19900227	US 1988-231130	19880811
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515
	US 4581457	A	19860408	US 1984-653733	19840921
				US 1986-823163	19860127
				US 1984-653733	19840921
	US 4675405	A	19870623	US 1985-787939	19851016
				US 1987-50595	19870515
	US 4772703	A	19880920	US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127

PATENT FAMILY INFORMATION:

FAN 1986:442784

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4581457	A	19860408	US 1984-653733	19840921
				US 1986-823163	19860127
				US 1984-653733	19840921
	US 4675405	A	19870623	US 1985-787939	19851016
				US 1987-50595	19870515
				US 1984-653733	19840921
	US 4772703	A	19880920	US 1985-787939	19851016
				US 1986-823163	19860127
				US 1988-231130	19880811
	US 4904786	A	19900227		

				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515
FAN	1988:21732				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 232954	A2	19870819	EP 1987-300038	19870106
	EP 232954	A3	19881123		
	R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
				US 1986-823163	19860127
				GB 1986-17471	19860717
	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
FAN	1988:37668				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
	US 4581457	A	19860408	US 1984-653733	19840921
	GB 2185741	A1	19870729	GB 1986-30898	19861224
	GB 2185741	B2	19891025		
				US 1986-823163	19860127
				GB 1986-17471	19860717
	ZA 8700044	A	19880831	ZA 1987-44	19870105
				US 1986-823163	19860127
	AU 8767155	A1	19870730	AU 1987-67155	19870106
	AU 595848	B2	19900412		
				US 1986-823163	19860127
				GB 1986-17471	19860717
	EP 232954	A2	19870819	EP 1987-300038	19870106
	EP 232954	A3	19881123		
	R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
				US 1986-823163	19860127
				GB 1986-17471	19860717
	FI 8700298	A	19870728	FI 1987-298	19870123
				US 1986-823163	19860127
	DK 8700404	A	19870728	DK 1987-404	19870126
				US 1986-823163	19860127
	JP 62190159	A2	19870820	JP 1987-15894	19870126
				US 1986-823163	19860127
	HU 44511	A2	19880328	HU 1987-240	19870127
	HU 198021	B	19890728		
				US 1986-823163	19860127
	US 4772703	A	19880920	US 1987-50595	19870515
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
	US 4904786	A	19900227	US 1988-231130	19880811
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515
FAN	1989:173252				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 4772703	A	19880920	US 1987-50595	19870515
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
	US 4581457	A	19860408	US 1984-653733	19840921
	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
	US 4904786	A	19900227	US 1988-231130	19880811
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515

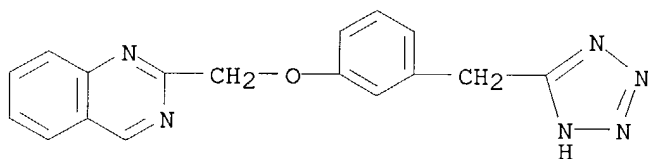
OS CASREACT 113:78185; MARPAT 113:78185

IT **120028-56-0P**

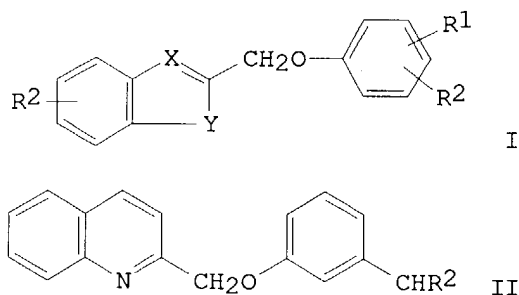
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic and antiinflammatory agent)

RN 120028-56-0 CAPLUS

CN Quinazoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



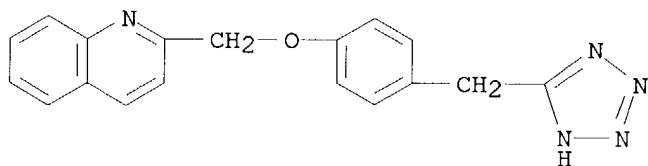
GI



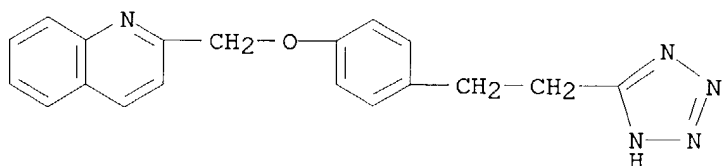
AB The title compds. [I; R1 = (CH₂)_nNR₃SO₂R₅, CH(OR₃)CH₂NR₃R₄, CH(SCH₂CH₂CO₂R₃)₂, etc.; R₂ = H, alkyl, alkoxy(carbonyl), CF₃, NO₂, cyano, halo; R₃ = H, alkyl; R₄ = H, alkyl, CO₂R₃, CONR₃; R₅ = (fluoro)alkyl, (un)substituted Ph; X = N, CR₃; Y = CR₃:N, N:CR₃, CR₃:CR₃, NR₃] were prepared. Thus, 3-HOC₆H₄CHO and HOCH₂CH₂OH were refluxed 2 days with H₂O separation in PhMe containing 4-MeC₆H₄SO₃H and the product refluxed 20 h with 2-chloromethylquinoline in Me₂CO containing CsCO₃ and KI to give title compound II (R₂ = OCH₂CH₂O) which was stirred 1 h with HSCH₂CH₂CO₂Me in CH₂Cl₂ containing BF₃.Et₂O to give II (R = SCH₂CH₂CO₂Me). The latter gave 46% inhibition of leukotriene-induced bronchospasm in guinea pigs at 50 mg/kg

intragastrically.

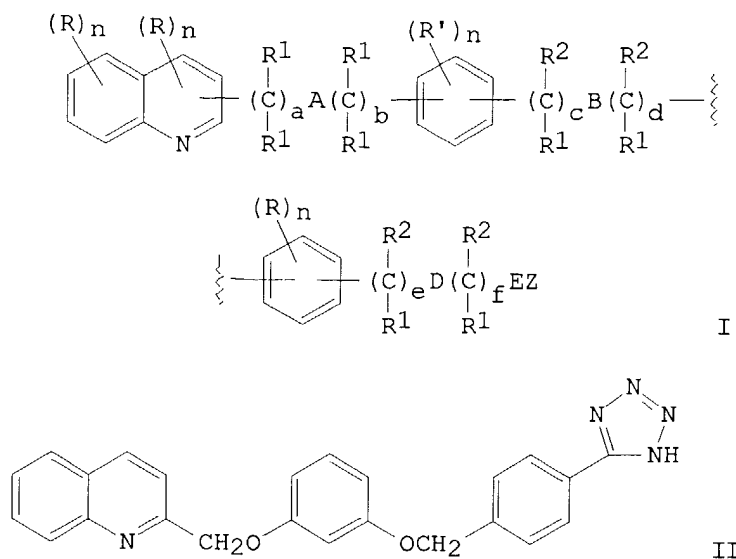
L3 ANSWER 46 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:131890 CAPLUS
DN 112:131890
TI Development of a novel series of (2-quinolinylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 1. Initial structure-activity relationships
AU Youssefyeh, Raymond D.; Magnien, Ernest; Lee, Thomas D. Y.; Chan, Wan Kit; Lin, Clara J.; Galembo, Robert A., Jr.; Johnson, William H., Jr.; Tan, Jenny; Campbell, Henry F.; et al.
CS Rorer Cent. Res., Horsham, PA, 19044, USA
SO Journal of Medicinal Chemistry (1990), 33(4), 1186-94
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 112:131890
IT **107813-83-2P 114497-45-9P 114497-46-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and leukotriene antagonist activity of, antiallergy and antiasthmatic activities in relation to)
RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 114497-45-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 114497-46-0 CAPLUS
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



AB Quinolines I [A = O, S; B = O, S, SO, SO₂, NR₁, CO, NR₁CO, CONR₁; D = O, S, NR, CR₁:CR₁, bond; E = bond, CR₁:CR₁; a, n = 0-2; b = 0-1; c, e = 0-4; d, f = 0-5; R = H, alkyl, OH, alkoxy, CO₂H, carbalkoxy, halo, NO₂, haloalkyl, cyano, acyl; R' = H, alkyl, OH, alkoxy, halo, haloalkyl; R₁ = H, alkyl, aralkyl; R₂ = (CH₂)_x; x = 0-3; X = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, aralkoxy, (di)(alkyl)amino, aralkylamino, acylamino, carbamyl, CO₂H, carbalkoxy, tetrazolyl, acylsulfonamido; vicinal (R₂)₂ = (CH₂)_y; y = 1-4; geminal (R₂)₂ = (CH₂)_z; z = 2-5; geminal (R₁)₂, R₁R₂ = :CHR₁; Z = CO₂R₁, cyano, CONHSO₂R₃, CON(R₁)₂, OR, tetrazolyl (may be substituted by alkyl, carboxyalkyl, or carbalkoxyalkyl); R₃ = H, alkyl, haloalkyl, Ph, PhCH₂] are prepared as lipoxigenase inhibitors and/or leukotriene antagonists (no data). Alkylation of Na 3-(2-quinolinylmethoxy)phenoxide by p-NCC₆H₄CH₂Br in DMF gave 4-[3-(2-quinolinylmethoxy)phenoxyethyl]benzonitrile, which underwent cycloaddn. with HN₃ (from NaN₃ and pyridine-HCl) in DMF to give title [[(quinolinylmethoxy)phenoxyethyl]phenyl]tetrazole II.

L3 ANSWER 50 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:553787 CAPLUS

DN 111:153787

TI 2-Aryl-substituted heterocyclic compounds as antiallergic and anti-inflammatory agents

IN Musser, John Henry; Bender, Reinhold Hans Wilhelm; Kreft, Anthony Frank, III

PA American Home Products Corp., USA

SO Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310370	A1	19890405	EP 1988-309014	19880929
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1987-103224	19870930

US 4826990 A 19890502
 AU 8822896 A1 19890406
 AU 611714 B2 19910620
 GB 2210368 A1 19890607
 GB 2210368 B2 19920325
 JP 01143856 A2 19890606
 US 4895953 A 19900123

US 1987-103224 19870930
 AU 1988-22896 19880928
 US 1987-103224 19870930
 GB 1988-22839 19880929
 US 1987-103224 19870930
 JP 1988-248900 19880930
 US 1987-103224 19870930
 US 1989-311011 19890215
 US 1987-103224 19870930

PATENT FAMILY INFORMATION:

FAN 1992:490271

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5103014	A	19920407	US 1990-525418	19900518
				US 1987-103224	19870930
				US 1989-311558	19890215
	US 4826990	A	19890502	US 1987-103224	19870930
	US 4942236	A	19900717	US 1989-311558	19890215
				US 1987-103224	19870930

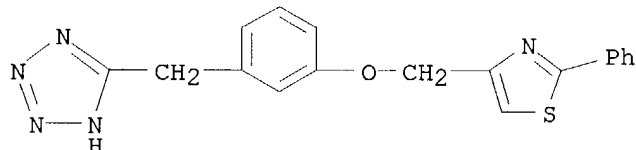
OS CASREACT 111:153787; MARPAT 111:153787

IT **122994-31-4P**

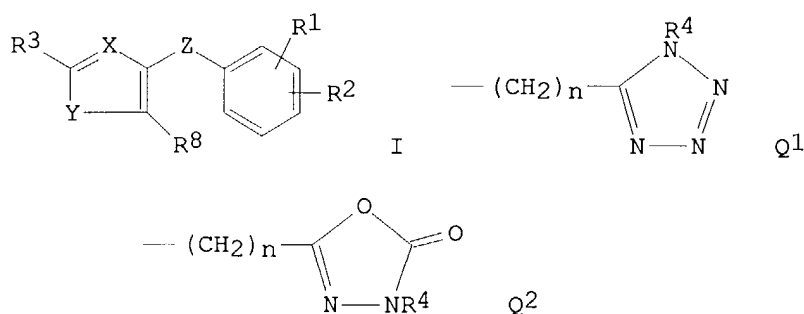
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as inflammation and allergy inhibitors)

RN 122994-31-4 CAPLUS

CN 1H-Tetrazole, 5-[[3-[(2-phenyl-4-thiazolyl)methoxy]phenyl]methyl]- (9CI)
 (CA INDEX NAME)



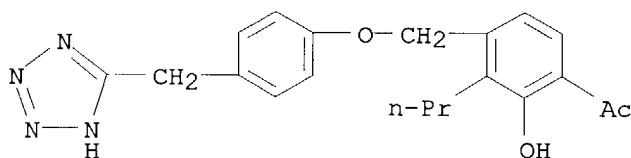
GI



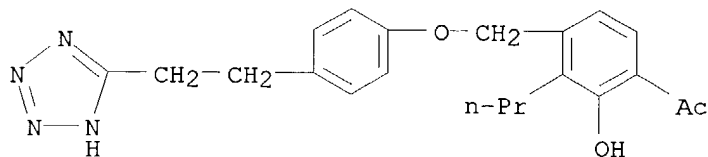
AB Title compds. I [X = CR4, N; Y = CR4:N, N:CR4, CR4:CR4, S, NR4; X = (CH2)nO, (CH2)nS, (CH2)nNR4, CONR4, (CH2)nS(O), (CH2)nSO2, CR4:CR4,

C.tplbond.C; R1 = (CH₂)_nNR₄SO₂R₅, CH(OR₄)CH₂NR₄R₆, (CH₂)_nCONR₄SO₂R₅, (CHR₇)_nCO₂R₄, (CHR₇)_nCONR₄OR₄, (CH₂)_nCONHNH₂, Q₁, Q₂; n = 0-5; R₂, R₈ = H, alkyl, alkoxy, alkoxycarbonyl, CF₃, NO₂, cyano, halo; R₃ = R₂C₆H₄W(CH₂)_m; (R₂)₂C₆H₃; W = O, S, NR₄; m = 1-15; R₄ = H, alkyl; R₅ = alkyl, mono-, di-, poly-, or perfluoroalkyl, R₂C₆H₄; R₆ = H, alkyl, CO₂R₄, CON(R₄)₂; R₇ = H, Me] are prepared Treatment of I (R₁ = 3-NH₂; R₂ = H; R₃ = 4-MeOC₆H₄; R₈ = Me; X = N; Y = O) (preparation given) in CH₂Cl₂ with (CF₃SO₂)₂O in the presence of Et₃N gave I (R₁ = 3-CF₃SO₂NH). The latter at 25 mg/kg intraduodenally showed 24% inhibition of leukotriene-induced bronchospasm in guinea pigs.

L3 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1989:548305 CAPLUS
 DN 111:148305
 TI Induction of peroxisomal β -oxidation in the rat liver in vivo and in vitro by tetrazole-substituted acetophenones: structure-activity relationships
 AU Eacho, P. I.; Foxworthy, P. S.; Dillard, R. D.; Whitesitt, C. A.; Herron, D. K.; Marshall, W. S.
 CS Lilly Res. Lab., Eli Lilly and Co., Greenfield, IN, 46140, USA
 SO Toxicology and Applied Pharmacology (1989), 100(1), 177-84
 CODEN: TXAPA9; ISSN: 0041-008X
 DT Journal
 LA English
 IT **97581-70-9 97581-72-1 97582-01-9**
107223-54-1 123041-21-4
 RL: BIOL (Biological study)
 (β -oxidation induction by, in liver peroxisomes, structure in relation to)
 RN 97581-70-9 CAPLUS
 CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-yl)methyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 97581-72-1 CAPLUS
 CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 97582-01-9 CAPLUS
 CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-[3-(1H-tetrazol-5-yl)propyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

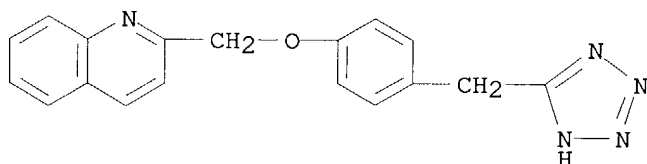
L3 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1988:422970 CAPLUS
 DN 109:22970
 TI Preparation of quinolyl aryltetrazole ethers as inflammation inhibitors
 and allergy inhibitors
 IN Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit;
 Lee, Thomas D. Y.
 PA Rorer International (Overseas), Inc., USA
 SO PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8705510	A1	19870924	WO 1987-US560	19870311
	W: AU, JP, US, US, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
				US 1985-723781	19850416
				US 1986-839410	19860313
				US 1986-911028	19860924
	US 4631287	A	19861223	US 1985-723781	19850416
	US 4839369	A	19890613	US 1986-839410	19860313
				US 1985-723781	19850416
	US 4725619	A	19880216	US 1986-877568	19860623
				US 1985-723781	19850416
	US 4728668	A	19880301	US 1986-877570	19860623
				US 1985-723781	19850416
	US 4868193	A	19890919	US 1986-911028	19860924
	AU 8771623	A1	19871009	AU 1987-71623	19870311
	AU 612569	B2	19910718		
				US 1986-839410	19860313
				US 1986-911028	19860924
				WO 1987-US560	19870311
	EP 260305	A1	19880323	EP 1987-902015	19870311
	EP 260305	B1	19921216		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1986-839410	19860313
				US 1986-911028	19860924
	JP 63503139	T2	19881117	JP 1987-501942	19870311
				US 1986-839410	19860313
				US 1986-911028	19860924
				WO 1987-US560	19870311
	AT 83376	E	19930115	AT 1987-902015	19870311
				US 1986-839410	19860313
				US 1986-911028	19860924
				EP 1987-902015	19870311
				WO 1987-US560	19870311
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PATENT FAMILY INFORMATION:

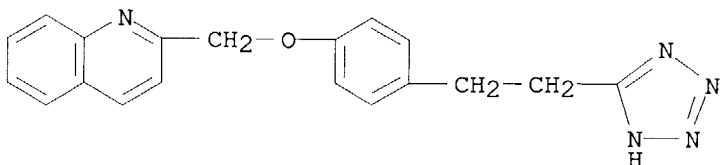
FAN 1987:176188

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	US 4725619	A	19880216	US 1986-877568	19860623
				US 1985-723781	19850416
	US 4728668	A	19880301	US 1986-877570	19860623
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OS	CASREACT 109:22970				
IT	107813-83-2P 114497-45-9P 114497-46-0P				
	114497-47-1P 114497-53-9P 114497-54-0P				
	RL: SPN (Synthetic preparation); PREP (Preparation)				
	(preparation of, as inflammation inhibitor and allergy inhibitor)				
RN	107813-83-2 CAPLUS				
CN	Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)				



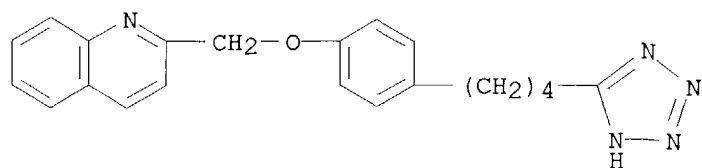
RN 114497-45-9 CAPLUS

CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



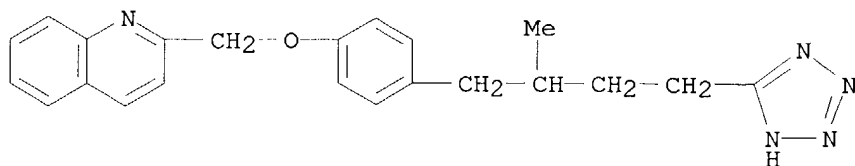
RN 114497-46-0 CAPLUS

CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



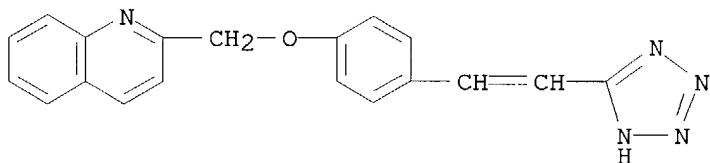
RN 114497-47-1 CAPLUS

CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-(9CI) (CA INDEX NAME)



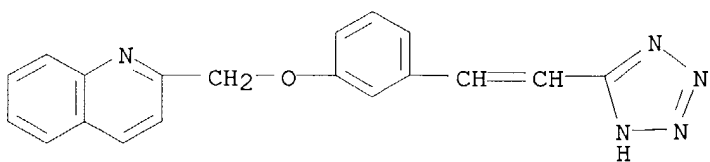
RN 114497-53-9 CAPLUS

CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]-(9CI) (CA INDEX NAME)

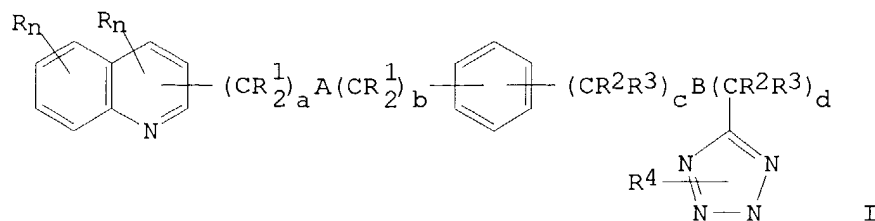


RN 114497-54-0 CAPLUS

CN Quinoline, 2-[[3-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]-(9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R = H, alkyl, OH, alkoxy, carbalkoxy, halo, NO₂, haloalkyl, cyano; R₁, R₂ = H, alkyl, aralkyl; vicinal R₂R₂ = double bond; R₃ = (CH₂)_xX; vicinal R₃R₃ = (CH₂)_y; R₂R₃ = (CH₂)_z; X = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, amino, carbamoyl, carboxy, carboalkoxy; R₄ = H, (substituted) alkyl; A = O, S; B = CR₂R₃, O, S; a, c, n = 0-2; b = 0-1; d = 0-5; x = 0-3; yr = 1-4; z = 2-5] were prepared as antiinflammatories and allergy inhibitors (no data). 2-[(3-Hydroxyphenoxy)methyl]quinoline and 5-(3-chloropropyl)tetrazole were heated with KOH in EtOH/H₂O to give 5-[3-(3-(2-quinolylmethoxy)phenoxy)propyl]tetrazole.

L3 ANSWER 60 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1987:437870 CAPLUS

DN 107:37870

TI Mechanism of A23187-induced airway obstruction in the guinea pig

AU Stengel, Peter W.; Pechous, Penelope A.; Silbaugh, Steven A.

CS Connect. Tissue Pulm. Res. Dep., Lilly Res. Lab., Indianapolis, IN, 46285, USA

SO Prostaglandins (1987), 33(4), 567-77

CODEN: PRGLBA; ISSN: 0090-6980

DT Journal

LA English

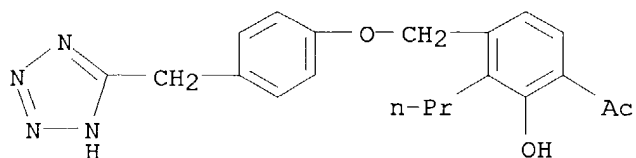
IT **97581-70-9**, Ly163443

RL: BIOL (Biological study)

(A23187-induced airway obstruction response to)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy)methyl]phenyl]- (9CI) (CA INDEX NAME)



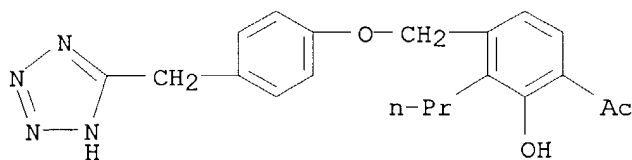
AB Exposure of conscious guinea pigs to A23187 aerosol produced a concentration-related increase of excised lung gas volume (ELGV), i.e., postmortem pulmonary gas trapping. Measurements of ELGV were highly correlated with in vivo measurements of dynamic compliance (C_{dyn}) and total pulmonary resistance (RL) and were used as an indication of in vivo airway obstruction. Guinea pigs were pretreated i.v. with the following drugs: atropine; LY163443, a selective LTD₄/LTE₄ antagonist; indomethacin;

(Reactant or reagent)

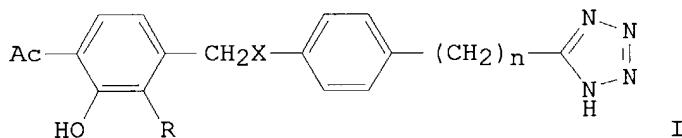
(preparation and methylation of)

RN 107223-78-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-yl-methyl)phenoxy]methyl]phenyl]-, monosodium salt (9CI) (CA INDEX NAME)



GI



AB A series of [[(tetrazol-5-ylaryl)oxy]methyl]acetophenones I (R = H, Me, Et, Pr, Bu, CH₂CHMe₂; X = O, NH, S, CH₂, OCH₂CH₂O; n = 0-3) was synthesized and evaluated as antagonists of leukotriene D₄-induced contractions of guinea pig ileum. Substitutions at the 3-position of the acetophenone, e.g., I (R = Et, Pr, Bu, CH₂CHMe₂; X = O, n = 1) gave log IC₅₀ (IC = inhibiting concentration) of 7.9, 8.0, 7.8, and 7.7. I (n = 0-2) were

equally potent. For retention of high antagonist activity, the acetophenone should be substituted in the 2-position by OH and the tetrazole ring should have an acidic H. I (R = Pr, X = O, n = 1) (LY163443) has undergone extensive evaluation as an antiasthmatic agent.

L3 ANSWER 62 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1987:176188 CAPLUS

DN 106:176188

TI Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments

IN Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit

PA USV Pharmaceutical Corp., USA

SO Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 200101	A2	19861210	EP 1986-105287	19860416

EP 200101	A3	19880420		
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US 4839369	A	19890613	US 1986-839410	19860313
			US 1985-723781	19850416
AU 8656398	A1	19861023	AU 1986-56398	19860416
AU 597249	B2	19900531		
			US 1985-723781	19850416
			US 1986-839410	19860313
JP 62212334	A2	19870918	JP 1986-86228	19860416
			US 1985-723781	19850416
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US 4725619	A	19880216	US 1986-877568	19860623
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PATENT FAMILY INFORMATION:

FAN 1988:422970

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AU 612569	B2	19910718			
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			WO 1987-US560	19870311	
EP 260305	A1	19880323	EP 1987-902015	19870311	
EP 260305	B1	19921216			
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US 4874769

A 19891017

US 1986-911028 19860924
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 US 1985-723781 19850416
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 WO 1987-US560 19870311

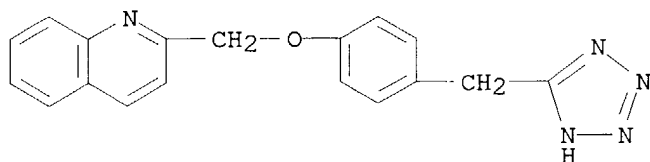
OS CASREACT 106:176188

IT **107813-83-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antiinflammatory and antiallergic)

RN 107813-83-2 CAPLUS

CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



AB ArZMZ1Ar1 [Ar, Ar1 = (un)substituted Ph, naphthyl, or a N-, O-, S-containing heterocyclyl; Z, Z1 = bond, alkylene; M = O, S, NR; R = H, alkyl], useful as lipoxxygenase inhibitors possessing anti-inflammatory and antiallergic properties, were prepared. Thus, a mixture of 2-(chloromethyl)quinoline 0.05, PhOH 0.055, K2CO3 0.055, Cs2CO3 0.005, and NaI 0.0025 mol in Me2CO was refluxed for .apprx.4 h to give 2-(phenoxymethyl)quinoline (I). I inhibited 5-lipoxxygenase activity with I50 = 0.7 using a suspension of rat neutrophils in buffer incubated for 3 min at 30° with [14C]arachidonic acid and Ca Ionophore A23187.

L3 ANSWER 63 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:508245 CAPLUS

DN 105:108245

TI Evaluation of LY163443, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]ethanone, as a pharmacologic antagonist of leukotrienes D4 and E4

AU Fleisch, Jerome H.; Rinkema, Lynn E.; Haisch, Klaus D.; McCullough, Doris; Carr, F. Patrick; Dillard, Robert D.

CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SO Naunyn-Schmiedeberg's Archives of Pharmacology (1986), 333(1), 70-7
 CODEN: NSAPCC; ISSN: 0028-1298

DT Journal

LA English

IT **97581-70-9**

RL: BIOL (Biological study)
 (as leukotriene antagonist)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

FR 2358433 A1 19780210
FR 2358433 B1 19790309

CH 1976-9138 19760716
FR 1977-21935 19770718
CH 1976-9138 19760716

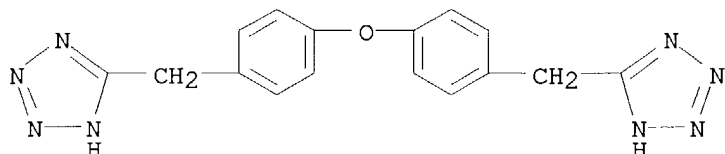
IT **66012-61-1**

RL: USES (Uses)

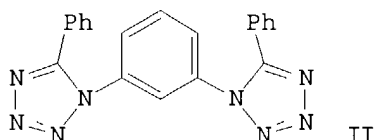
(blowing agents, for plastics)

RN 66012-61-1 CAPLUS

CN 1H-Tetrazole, 5,5'-[oxybis(4,1-phenylenemethylene)]bis- (9CI) (CA INDEX NAME)



GI



AB 1,5-Bis(5-tetrazolyl)-3-oxapentane (I) [66012-50-8], 1,5-bis(5-tetrazolyl)-3-thiapentane [66012-51-9], 1,2-bis(5-tetrazolyl)-1,2-diphenylethane [66012-53-1], 1,4-bis(5-tetrazolyl)butane [13242-31-4], 1,1'-di-tert-butyl-5,5'-bistetrazole [66012-71-3], 1,3-bis(1-phenyl-5-tetrazolyl)benzene [66012-78-0], 1,3-bis(5-phenyl-1-tetrazolyl)benzene (II) [66012-80-4], and 30 similar bistetrazoles were prepared for use as blowing agents in the manufacture of foams from polycarbonates, polyoxyphenylenes, polyesters, polyamides, polyolefins, etc. The blowing agents gave higher gas yields, compared with 5-phenyltetrazole. Thus, O(CH₂CH₂CN)₂ [1656-48-0] 62, Na azide 71.5, NH₄Cl 58.8, and DMF 200 parts were heated at 130° for 8 h to prepare I. A polyoxyphenylene containing 0.3% I was extruded to prepare a foam with d. 0.85 g/cm.

L3 ANSWER 67 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:405350 CAPLUS

DN 85:5350

TI Substituted phenylalkyl amines and -tetrazoles and addition salts thereof

PA Eli Lilly and Co., USA

SO Neth. Appl., 16 pp.

CODEN: NAXXAN

DT Patent

LA Dutch

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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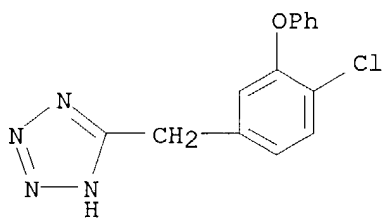
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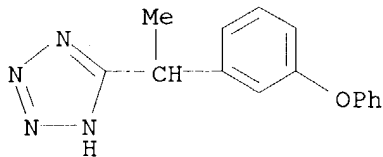
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PATENT FAMILY INFORMATION:				
FAN 1971:448707				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI FR 2015728	A5	19700430	FR 1969-28042	19690814
FR 2015728	B1	19730713		
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ZA 6905611	A	19710331	ZA 1969-5611	19690805
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AT 308726	B	19730725	AT 1970-9090	19690818
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DK 141439	C	19800915		
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FI 57592	B	19800530		
FI 57592	C	19800910		
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NL 7905644	A	19791130		
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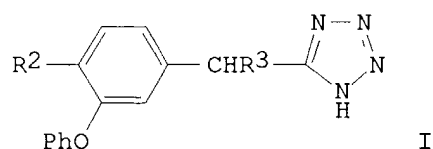
IT **32852-98-5P 59395-49-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 32852-98-5 CAPLUS
 CN 1H-Tetrazole, 5-[(4-chloro-3-phenoxy)methyl]- (9CI) (CA INDEX NAME)



RN 59395-49-2 CAPLUS
 CN 1H-Tetrazole, 5-[1-(3-phenoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



GI



AB Analgesic and antiinflammatory (no data) 3-PhO6H4CHMeCH2NRR1 (R = H, Me, R1 = H, Me, cyclopropyl, cyclopropylmethyl, CH2CH2Ph, allyl; R = Me, R1 = CH2CH:CM2), 3-PhOC6H4CHEtCH2NHMe, 3-PhOC6H4CHMeCH2CH2NHR, and 3-PhOC6H4CH2CH2NMeR were prepared e.g. by treating 3-PhOC6H4CHMeBr with NaCN and reducing 3-PhOC6H4CHMeCN, or by treating 3-PhOC6H4CHMeCO2H with RR1NH and reducing the amides. The tetrazoles I (R2 = Cl, R3 = H; R2 = H, R3 = Me) were obtained by treating 4,3-R2(PhO)C6H3CHR3CN with NaN3.

L3 ANSWER 68 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1971:448707 CAPLUS

DN 75:48707

TI Antiinflammatory, analgesic, and antipyretic substituted phenylalkanoic acids and their derivatives

IN Marshall, Winston S.

PA Eli Lilly and Co.

SO Fr. Demande

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2015728	A5	19700430	FR 1969-28042	19690814
	FR 2015728	B1	19730713		
	US 3649679	A	19720314	US 1968-752800	19680815
	ZA 6905611	A	19710331	US 1968-752800	19680815
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	AT 308726	B	19730725	AT 1970-9090	19690818
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Patel

<5/3/2004>

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NL 7905644	A	19791130	NL 1979-5644	19790720
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PATENT FAMILY INFORMATION:
FAN 1976:405350

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 7506127	A	19750829	NL 1975-6127	19750523
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US 3649679	A	19720314	US 1968-752800	19680815	
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SE 363818	B	19740204	SE 1969-11181	19690812	
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BE 737417	A	19700213	BE 1969-737417	19690813	
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NO 7703495	A	19700216
NO 139127	C	19790110
NO 139127	B	19781002

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NL 1975-6128	19750523
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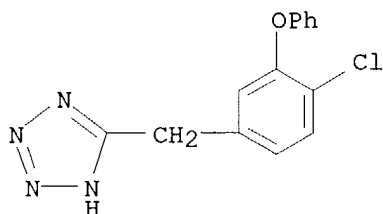
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			US 1969-828756	19690528
			NL 1969-12504	19690815

IT **32852-98-5P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 32852-98-5 CAPLUS
 CN 1H-Tetrazole, 5-[(4-chloro-3-phenoxy)methyl]- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB The title compds. (I) comprising II and III, their salts, esters, amides, amines, alcs., ethers, tetrazoles, and carbamates, of which some 150 examples are listed are prepared by known methods for the synthesis of phenylacetic acids, phenylpropionic acids, and their derivs. (IV-CH₂CO₂H). Thus, morpholine containing m-PhOC₆H₄CO₂Me and S refluxed 20 hr and the mixture refluxed 20 hr with addition of aqueous KOH and a small amount of EtOH with distillation of solvent, the hot filtrate cooled and acidified with concentrated HCl yielded II (Y₁ = Y₂ = R₁ = H, X = O, n = 0, Z = CO₂H), m. 84-6°. (CH₂CO)₂NBr, Bz₂O₂, and 4,3-Cl(PhO)C₆H₃Me in CCl₄ refluxed 24 hr gave 4,3-Cl(PhO)C₆H₃CH₂Br, which was treated in CS₂ with 95% NaCN in Me₂SO at 50-60° to give 4,3-Cl(PhO)C₆H₃CH₂CN (V), which was hydrolyzed in concentrated HCl 24 hr at 85°, diluted with H₂O, refluxed 4 hr to give 2-(4-chloro-3-phenoxyphenyl acid (VI), m. 77-80°. CHCl₃ containing 3-PhOC₆H₄CH₂CO₂H refluxed with SOCl₂ 3 hr gave 3-PhOC₆H₄CH₂COCl, which was treated with MeOH at 10° to yield 3-PhOC₆H₄CH₂CO₂Me. V NaN₃, NH₄Cl and a trace of LiCl heated 12 hr in DMF at 125° and the oily product suspended in H₂O, adjusted to pH 2 with HCl gave 5-(4-chloro-3-phenoxybenzyl)-1H-tetrazole, m. 157-8°. Reduction of 3-PhOC₆H₄CO₂Me in MeOH at 0° with NaBH₄ below 10° gave 3-PhOC₆H₄CHMeOH, brominated in CCl₄ with PBr₃ at 0-5° to the corresponding 3-PhOC₆H₄CHMeBr, transformed with 98% NaCN in Me₂SO at 50-60° to the nitrile, 3-PhOC₆H₄CHMeCN (VII), saponified by alc. NaOH and acidification with concentrated HCl to 3-PhOC₆H₄CHMeCO₂H (VIII). The acid chloride treated with NH₃, HNMe₂, and C₃H₅CH₂NH₂ (C₃H₅-cyclopropyl) gave the corresponding 3-PhOC₆H₄CHMeCONH₂, 3-PhOC₆H₄CHMeCONMe₂ (IX), and 3-PhOC₆H₄CHMeCONHCH₂C₃H₅. Reduction of IX in Et₂O with LiAlH₄ (N atmospheric) 18 hr yielded 3-PhOC₆H₄CHMeCH₂NH₂. VIII treated in hot EtOAc with d-(+)-α-methylbenzylamine and acidification yielded the optically active d-(+)-VIII, and l-(-)-VIII. VII, NH₃ and Raney Ni with initial H pressure 70 kg/sq. cm. heated 4 hr at 70-80° gave 3-PhOC₆H₄CHMeCH₂NH₂. Na in liquid NH₃ containing a catalytic amount of FeCl₃

stirred 30 min. with 3-PhOC6H4CH2CN and the mixture stirred 18 hr with addition of EtI and evaporation of NH3 gave 3-PhOC6H4CH2CN, hydrolyzed to the corresponding 3-PhOC6H4CH2CO2H. Esterification of VIII with EtOH and HCl gave 3-PhOC6H4CHMeCO2Et, reduced in alc. with LiAlH4 to 3-PhOC6H4CHMeCH2OH (X). X in C6H6 treated with MeNCO in C6H6 and the mixture refluxed 5 hr gave 3-PhOC6H4CHMeCH2O2CNHMe. HONH2.HCl in MeOH treated with MeONa in MeOH and the filtered solution stirred with 3-PhOC6H4CHMeCH2CO2Me, the mixture refluxed gave 3-PhOC6H4CHMeCH2C(O)NHOH. MeNCO condensed with 3-PhOC6H4CHMeCH2NH2 in refluxing C5H5N yielded 3-PhOC6H4CHMeCH2NHCONHMe. Condensation of triethyl phosphoacetate with 3-PhOC6H4COMe in the presence of NaH in monoglyme gave 3-PhOC6H4CMe.CHCO2Et, reduced in alc. in the presence of PtO2 to the corresponding 3-PhOC6H4CHMeCH2CO2Et, hydrolyzed to 3-PhOC6H4CHMeCH2CO2H. 3,4-MeO(PhO)C6H3CH2CO2H refluxed with 48% HBr (N atmospheric) gave 3,4-HO(PhO)C6H3CH2CO2H. Liquid NH3 containing a trace of FeCl3 treated with Na and stirred 30 min, treated with 2,4-Me(PhO)C6H3CH2CO2H and stirred 45 min, treated with 11.3 g MeI gave 2,4-Me(PhO)C6H4CHMeCO2H. Conversion of 3,4-MeO(PhS)C6H3CH2CO2H with boiling HBr gave the corresponding 3,4-HO(PhS)C6H3CH2CO2H.

=> d his

(FILE 'HOME' ENTERED AT 13:27:47 ON 03 MAY 2004)

FILE 'REGISTRY' ENTERED AT 13:27:59 ON 03 MAY 2004

L1 STRUCTURE UPLOADED

L2 157 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:28:29 ON 03 MAY 2004

L3 68 S L2

L4 26 S L3 AND PHENYL

L5 13 S L3 AND CYCLOALKYL

L6 3 S L3 AND HETEROCYCLE

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L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:796427 CAPLUS

DN 139:323535

TI Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivatives as modulating agents for liver X receptors (LXR)

IN Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Bhat, Ajita; Mcate, John Jeffrey; Stavenger, Robert A.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 199 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082205	A2	20031009	WO 2003-US9450	20030326
	W:	AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA,			

US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG

US 2002-368425PP 20020327

OS MARPAT 139:323535

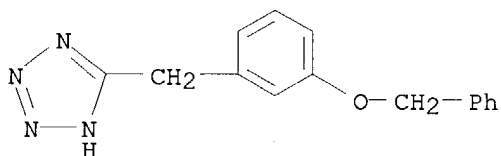
IT **609772-07-8P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)

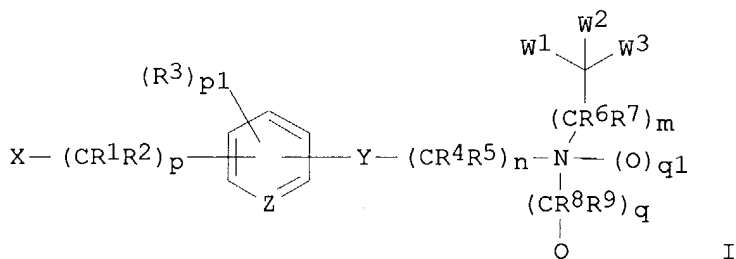
(intermediate; preparation of N-[3-(2-pyridyloxy or
 phenoxy)propyl]benzylamine derivs. as modulating agents for liver X
 receptors (LXR) for prevention or treatment of LXR-mediated diseases)

RN 609772-07-8 CAPLUS

CN 1H-Tetrazole, 5-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



GI



AB The title compds. (I) [X = C1-8 alkyl, halo, each (un)substituted OH, NH2, NHCONH2, SO2NH2, CO2H, or C(:NH)NH2, 5 or 6-membered heterocyclyl, etc.; or X and R3 together with their bonded atoms form alkylenedioxy; Z = (un)substituted CH or N; when Z = (un)substituted CH, p1 = 0-4 and q1 = 0-1; when Z = N, p1 = 0-3 and q1 = 0; Y = O, S, each (un)substituted NH or CH2; W1 = C1-6 alkyl, C3-8 cycloalkyl, aryl, heterocyclyl, etc.; W2 = H, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, each N, S, or O-(un)substituted C0-6 alkyl-NH2, C0-6 alkyl-SH, C0-6 alkyl-OH, C0-6 alkyl-CO2H, etc.; W3 = H, halo, C1-6 alkyl, each N, S, or O-(un)substituted C0-6 alkyl-NH2, C0-6 alkyl-SH, C0-6 alkyl-OH, or C0-6 alkyl-CO2H, etc.; p = 0-8; n = 2-8; m, q, q1 = 0, 1; R1, R2 = H, halo, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, each N-, O-, or S-(un)substituted C0-6 alkyl-NH2, C0-6 alkyl-OH, or C0-6 alkyl-SH, heterocyclyl-C1-C6 alkyl, aryl-C1-6 alkyl, C3-7 cycloalkyl-C1-C6 alkyl, etc.; or CR1R2 forms a 3-5 membered carbocyclic or heterocyclic ring; R3 = halo, cyano, nitro, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, aryl-C0-6 alkyl, heterocyclyl-C0-6

alkyl etc.; R4, R5 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl; R6, R7, R8, R9 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl, etc.] or pharmaceutically acceptable salts or solvates thereof are prepared. Many specific compds. are claimed. Also disclosed are pharmaceutical compns. containing the compds. I. The compds. I, salts and solvates of this invention are useful as LXR agonists for the prevention or treatment of LXR-mediated diseases such as cardiovascular disease, atherosclerosis, inflammation or as a medicament for increasing reverse cholesterol transport or inhibiting cholesterol absorption.

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:334658 CAPLUS
 DN 138:368896
 TI Biologically active 4H-benzo[1,4]oxazin-3-ones useful as PPAR γ agonists or antagonists
 IN Burris, Thomas P.; Combs, Donald W.; Rybczynski, Philip J.; Dudash, Joseph
 PA USA
 SO U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. Ser. No. 854,302.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003083329	A1	20030501	US 2001-990461	20011121
				US 2000-203860PP	20000512
				US 2001-854302 A2	20010511
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
	EP 1314729	A1	20030528	US 2000-203860PP	20000512
				EP 2002-258024	20021121
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				US 2001-990461 A	20011121

PATENT FAMILY INFORMATION:

FAN 2001:851139

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001087862	A2	20011122	WO 2001-US15383	20010511
	WO 2001087862	A3	20020530		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-203860PP	20000512
				US 2001-854302 A	20010511
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
				US 2000-203860PP	20000512
	EP 1280784	A2	20030205	EP 2001-937335	20010511
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2000-203860PP 20000512

US 2001-854302 A 20010511

WO 2001-US15383W 20010511

OS MARPAT 138:368896

IT **374109-64-5P**, (2R)-4-(4-Methoxybutyl)-2-[2-[2-[(1H-tetrazol-5-yl)methyl]phenoxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one

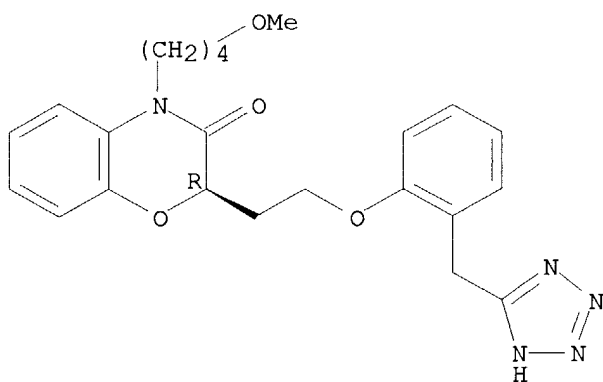
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazinones as PPAR γ agonists or antagonists)

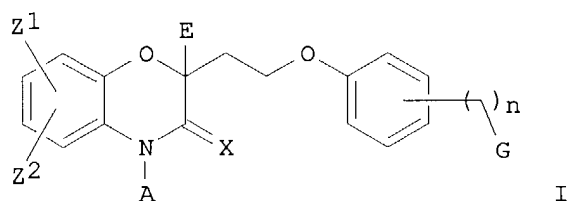
RN 374109-64-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(4-methoxybutyl)-2-[2-[2-(1H-tetrazol-5-ylmethyl)phenoxy]ethyl]-, (2R)- (9CI) (CA INDEX NAME)

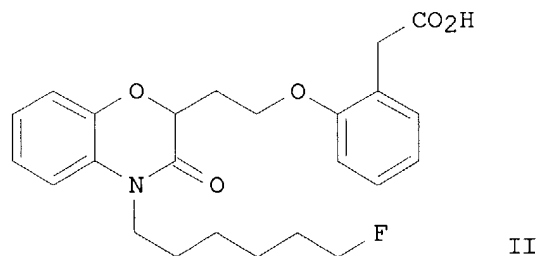
Absolute stereochemistry.



GI



I



II

AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPAR γ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO₂H or derivs., NH₂ or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO₂R1, COCO₂R1, CONR1R2, CF₃, P(O)(OR1)(OR2), SH, tetrazolyl, certain heterocycles, etc.; E = H, alkyl, -CH₂CH₂OC₆H₄(CH₂)_nG; X = H₂, O; R1, R2 = H, alkyl, aryl, heterocyclyl, aralkyl; or R1R2 = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH₂)₆F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound

II. In an agonist intrinsic activity assay for induction of aP2 mRNA production, II gave a 64.9-fold increase over control.

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:173582 CAPLUS

DN 138:221586

TI Preparation of azoles as oral antidiabetic agents.

IN Bigge, Christopher Franklin; Bridges, Alesander James; Casimiro-Garcia, Augustin; Fakhoury, Stephen Alan; Lee, Helen Tsenwhei; Reed, Jessica Elizabeth; Schaum, Robert Philipp; Schlosser, Kevin Matthew; Sexton, Karen Elaine; Zhou, Hairong

PA Warner Lambert Co., USA

SO PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003018553	A1	20030306	WO 2002-IB2843	20020715
	WO 2003018553	C1	20040408		
	W: AE, AM, BA, BG, CA, CO, CU, DE, DK, EE, FI, GB, GE, GH, HR, ID, IL, IN, JP, KE, KZ, LK, LR, LU, MA, MN				
	RW: GH, GM, MW, SD, SL, TZ, ZM, AT, BE, CH, CY, SK, TR, BF, CG, CI, GA				
				US 2001-315728PP	20010829
	US 2003171377	A1	20030911	US 2002-225716	20020822
				US 2001-315728PP	20010829
				US 2001-322123PP	20010914
				US 2002-369788PP	20020403

OS MARPAT 138:221586

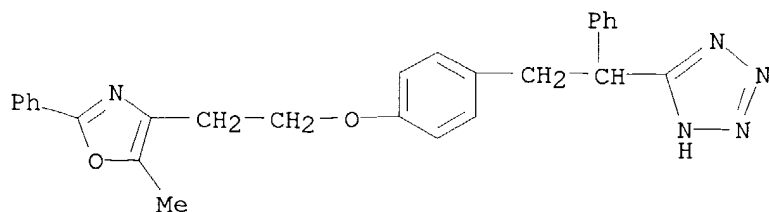
IT **501031-81-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azoles as oral antidiabetic agents)

RN 501031-81-8 CAPLUS

CN 1H-Tetrazole, 5-[2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-1-phenylethyl]- (9CI) (CA INDEX NAME)



AB AXQYC(B)(D)ZE [A = (substituted) (fused) aryl, heteroaryl, cycloalkyl, heterocycloalkyl; X = CH₂O, CH₂CH₂O, (CH₂)₃, CH₂C.tplbond.C, CH₂CH:CH; Q = (substituted) (fused) aryl, heteroaryl; Y, Z = null, (CR₁R₂)_n, (CR₃R₄)_m; R₁-R₄ = H, halo, alkyl, OH, alkoxy; m, n = 1-3; B = H, halo, alkyl, haloalkyl, alkoxy; D = H, (substituted) arylamino, alkanoyl, PhCO, aryl, heteroaryl, cycloalkyl, heterocycloalkyl; E = COR₅; R₅ = alkyl, OH, alkoxy, amino, sulfonylamino, substituted heteroaryl, dioxothiazolyl, etc.; with provisos], were prepared Thus, (S)-tyrosine Me ester, 2,5-dimethoxytetrahydrofuran, and NaOAc were heated in aqueous HOAc at 100° for 20 min. to give 35% pyrrolotyrosine Me ester. This was stirred with 2-(5-methyl-2-phenyloxazol-4-yl)ethanol, Ph₃P, and di-Et azodicarboxylate in THF for 18 h to give 51% Me (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]**phenyl**]-2-pyrrol-1-ylpropionate. The latter was stirred with LiOH in THF/H₂O to give 51% (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]**phenyl**]-2-pyrrol-1-ylpropionic acid. In a 3T3-L1 adipocyte differentiation assay, title compds. at 5 μM showed 2-183% of the activity of BRL 49653 pos. control. A drug formulation is given.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS
DN 138:24543
TI Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders
IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
PA Wellstat Therapeutics Corporation, USA
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100341	A2	20021219	WO 2002-US18388	20020612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2001-297282PP			20010612	
US 2003149107	A1	20030807	US 2002-167839	20020612

US 2004077896 A1 20040422 US 2001-297282PP 20010612
 US 2003-684644 20031014
 US 2001-297282PP 20010612
 US 2002-167839 A320020612

OS MARPAT 138:24543

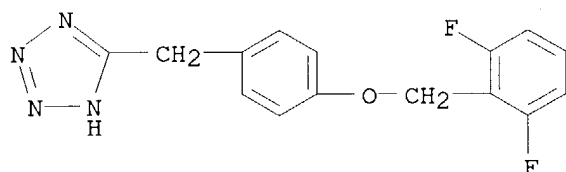
IT **478162-73-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

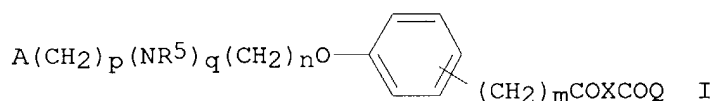
(preparation of benzyloxyphenyloxobutyrate and related compds. for treatment of metabolic disorders)

RN 478162-73-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[(2,6-difluorophenyl)methoxy]phenyl]methyl]- (9CI)
 (CA INDEX NAME)



GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared. Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:90009 CAPLUS

DN 136:134497

TI Synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases

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PASSWORD:

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and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in
CA/CAPLUS
NEWS 5 FEB 05 German (DE) application and patent publication number format
changes
NEWS 6 MAR 03 MEDLINE and L MEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26 PROMT: New display field available
NEWS 14 APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field
available
NEWS 15 APR 26 LITAlert now available on STN
NEWS 16 APR 27 NLDB: New search and display fields available

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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NEWS INTER General Internet Information
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:42:37 ON 03 MAY 2004

=> file reg

Patel

<5/3/2004>

COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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STRUCTURE FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8

DICTIONARY FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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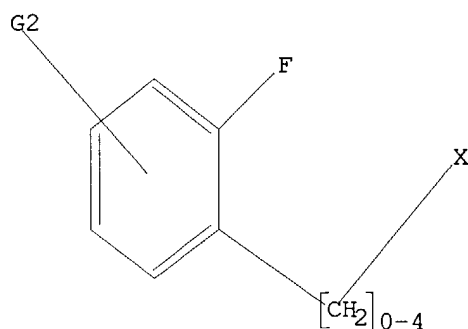
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy

G2 H,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:44:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 452399 TO ITERATE

88.4% PROCESSED 400000 ITERATIONS 118959 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.04

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 452399 TO 452399
PROJECTED ANSWERS: 133443 TO 135641

L2 118959 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

FILE 'CAPLUS' ENTERED AT 14:44:41 ON 03 MAY 2004
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FILE COVERS 1907 - 3 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 2 May 2004 (20040502/ED)

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=> s ll

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Substance data SEARCH and crossover from CAS REGISTRY in progress...
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SAMPLE SEARCH INITIATED 14:44:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 22745 TO ITERATE

4.4% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 445883 TO 463917
PROJECTED ANSWERS: 136433 TO 146513

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L4 38 L3

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L1 STRUCTURE UPLOADED

L2 118959 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:44:41 ON 03 MAY 2004

S L1

FILE 'REGISTRY' ENTERED AT 14:44:46 ON 03 MAY 2004

L3 50 S L1

FILE 'CAPLUS' ENTERED AT 14:44:47 ON 03 MAY 2004

L4 38 S L3

=> s 12

L5 24146 L2

=>

=> s 15 and 2,6-difluopro

L6 0 L5 AND 2,6-DIFLUOPRO

=> s 15 and 2,6-difluoro

L7 138 L5 AND 2,6-DIFLUORO

=> s 15 and 2,6-difluorophenyl

L8 163 L5 AND 2,6-DIFLUOROPHENYL

=> s 15 and 2-fluorophenyl

L9 429 L5 AND 2-FLUOROPHENYL

=> d l8 fbib hitstr abs total

L8 ANSWER 1 OF 163 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:267311 CAPLUS

DN 140:287417

TI Preparation of aminobenzodiazepinones and pharmaceutical compositions
containing them for use against respiratory syncytial virus

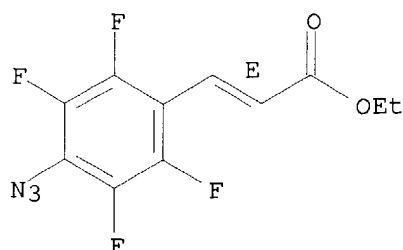
IN Carter, Malcolm; Henderson, Elisa; Kelsey, Richard; Wilson, Lara;
Chambers, Phil; Taylor, Debra; Tymes, Stan

PA Arrow Therapeutics Limited, UK

SO PCT Int. Appl., 134 pp.

CODEN: PIXXD2

Double bond geometry as shown.



AB Diazotization of Et 4-amino-2,3,5,6-tetrafluorocinnamate was carried out in anhydrous F3CCO2H to give 87% Et 4-azido-2,3,5,6-tetrafluorocinnamate, after treatment with NaN3. Similarly, 2,6-difluoroaniline gave 54% **2,6-difluorophenyl** azide and 3,6-diaminoacridine gave 84% 3,6-diazidoacridine.

=> d his

(FILE 'HOME' ENTERED AT 14:42:37 ON 03 MAY 2004)

FILE 'REGISTRY' ENTERED AT 14:43:22 ON 03 MAY 2004

L1 STRUCTURE UPLOADED

L2 118959 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:44:41 ON 03 MAY 2004

S L1

FILE 'REGISTRY' ENTERED AT 14:44:46 ON 03 MAY 2004

L3 50 S L1

FILE 'CAPLUS' ENTERED AT 14:44:47 ON 03 MAY 2004

L4 38 S L3

L5 24146 S L2

L6 0 S L5 AND 2,6-DIFLUOPRO

L7 138 S L5 AND 2,6-DIFLUORO

L8 163 S L5 AND 2,6-DIFLUOROPHENYL

L9 429 S L5 AND 2-FLUOROPHENYL

=> d 19 fbib hitstr abs total

L9 ANSWER 1 OF 429 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:308424 CAPLUS

TI Preparation of chiral oxazole-arylpropionic acid derivatives and their use as PPAR α and PPAR γ agonists for disorders like type II diabetes

IN Binggeli, Alfred; Boehringer, Markus; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Ricklin, Fabienne
PA F. Hoffmann-La Roche A.-G., Switz.

SO PCT Int. Appl., 108 pp.

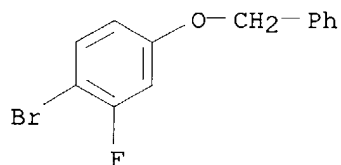
CODEN: PIXXD2

DT Patent

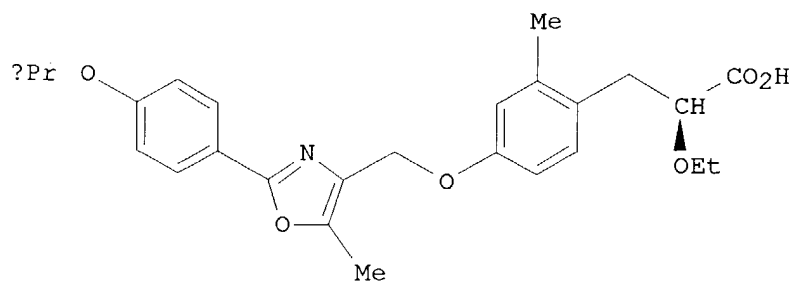
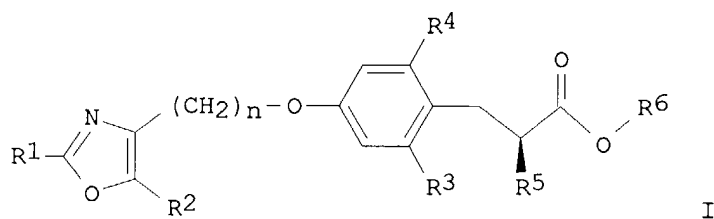
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031162	A1	20040415	WO 2003-EP11030	20031006
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	EP 2002-22286 A 20021007				
IT	185346-79-6P , 1-Bromo-2-fluoro-4-(phenylmethoxy)benzene RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of chiral oxazole-arylpropionic acid derivs. and their use as PPAR α and PPAR γ agonists for disorders like type II diabetes)				
RN	185346-79-6 CAPLUS				
CN	Benzene, 1-bromo-2-fluoro-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)				



GI



Patel

<5/3/2004>

AB The present invention relates to chiral oxazole-arylpropionic acid derivs. (shown as I; variables defined below; e.g. II) and pharmaceutically acceptable salts and esters thereof. The compds. are useful for the treatment and/or prevention of diseases, which are modulated by PPAR α and/or PPAR γ agonists as e.g. type II diabetes. For I: R1 is aryl or heteroaryl; R2 is H, lower-alkyl, or fluoro-lower-alkyl; R3 and R4 = H, hydroxy, halogen, lower-alkyl, fluoro-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, lower-alkoxy, fluoro-lower-alkoxy, hydroxy-lower-alkoxy, lower-alkoxy-lower-alkoxy, or lower-alkenyl, wherein at least one of R3 and R4 is not H; R5 is lower-alkoxy, fluoro-lower-alkoxy, lower-alkenyloxy, fluoro-lower-alkenyloxy, aryloxy, aryl-lower-alkoxy, or arylfluoro-lower-alkoxy; R6 is H or lower-alkyl; n is 1. EC50 and IC50 values for 10 examples of I towards PPAR α and PPAR γ are tabulated, e.g. IC50 = 30 and 58 nmol/L for PPAR α and PPAR γ , resp. for II. A method of preparation involving removing a protective ester radical (R6 = protective group) is claimed. Approx. 50 examples prepns. of I are included. For example, II was prepared in 4 steps starting with cyclization of diacetyl monooxime with 4-isopropoxybenzaldehyde to give 2-(4-isopropoxyphenyl)-4,5-dimethyloxazole 3-oxide hydrochloride, which was converted with POCl3 to 4-chloromethyl-2-(4-isopropoxyphenyl)-5-methyloxazole, which was coupled to (2S)-2-ethoxy-3-(4-hydroxy-2-methylphenyl)propionic acid Me ester to give (S)-2-ethoxy-3-[4-[2-(4-isopropoxyphenyl)-5-methyloxazol-4-ylmethoxy]-2-methylphenyl]propionic acid Me ester, which was hydrolyzed by LiOH to the acid.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 429 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:287780 CAPLUS

DN 140:303543

TI Preparation of piperidine- and tetrazolyl-containing ureas and related compounds as modulators of chemokine receptor activity

IN Batt, Douglas G.

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 215 pp.

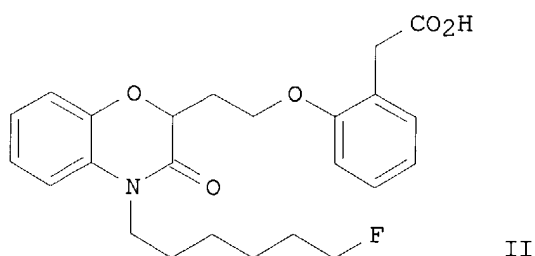
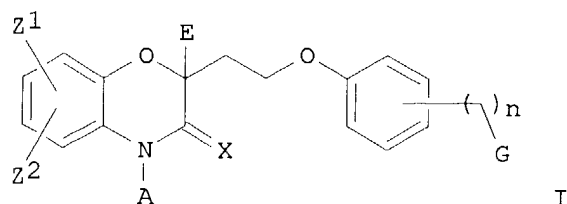
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004028530	A1	20040408	WO 2003-US30256	20030925
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004067935	A1	20040408	US 2002-413895PP	20020926
			US 2003-670596	20030925



AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPAR γ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO₂H or derivs., NH₂ or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO₂R₁, COCO₂R₁, CONR₁R₂, CF₃, P(O)(OR₁)(OR₂), SH, tetrazolyl, certain **heterocycles**, etc.; E = H, alkyl, -CH₂CH₂OC₆H₄(CH₂)_nG; X = H₂, O; R₁, R₂ = H, alkyl, aryl, heterocyclyl, aralkyl; or R₁R₂ = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH₂)₆F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound II. In an agonist intrinsic activity assay for induction of α P2 mRNA production, II gave a 64.9-fold increase over control.

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:553787 CAPLUS

DN 111:153787

TI 2-Aryl-substituted heterocyclic compounds as antiallergic and anti-inflammatory agents

IN Musser, John Henry; Bender, Reinhold Hans Wilhelm; Kreft, Anthony Frank, III

PA American Home Products Corp., USA

SO Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310370	A1	19890405	EP 1988-309014	19880929

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

US 4826990	A	19890502	US 1987-103224	19870930
AU 8822896	A1	19890406	US 1987-103224	19870930
AU 611714	B2	19910620	AU 1988-22896	19880928
			US 1987-103224	19870930
GB 2210368	A1	19890607	GB 1988-22839	19880929
GB 2210368	B2	19920325		
			US 1987-103224	19870930
JP 01143856	A2	19890606	JP 1988-248900	19880930
			US 1987-103224	19870930
US 4895953	A	19900123	US 1989-311011	19890215
			US 1987-103224	19870930

PATENT FAMILY INFORMATION:

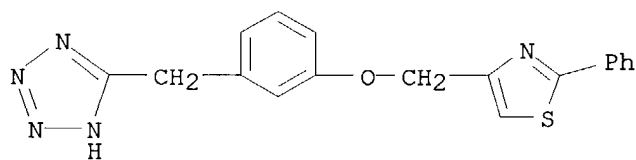
FAN 1992:490271

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5103014	A	19920407	US 1990-525418	19900518
				US 1987-103224	19870930
				US 1989-311558	19890215
	US 4826990	A	19890502	US 1987-103224	19870930
	US 4942236	A	19900717	US 1989-311558	19890215
				US 1987-103224	19870930

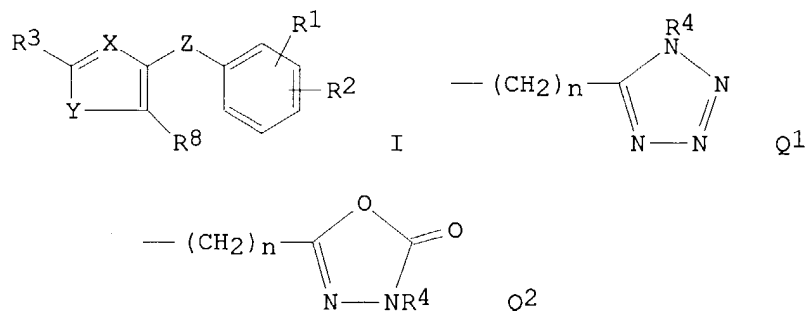
OS CASREACT 111:153787; MARPAT 111:153787

IT **122994-31-4P**RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation and allergy inhibitors)

RN 122994-31-4 CAPLUS

CN 1H-Tetrazole, 5-[[3-[(2-phenyl-4-thiazolyl)methoxy]phenyl]methyl]- (9CI)
(CA INDEX NAME)

GI



AB Title compds. I [X = CR4, N; Y = CR4:N, N:CR4, CR4:CR4, S, NR4; X = (CH2)nO, (CH2)S, (CH2)nNR4, CONR4, (CH2)nS(O), (CH2)nSO2, CR4:CR4, C.tplbond.C; R1 = (CH2)nNR4SO2R5, CH(OR4)CH2NR4R6, (CH2)nCONR4SO2R5, (CHR7)nCO2R4, (CHR7)nCONR4OR4, (CH2)nCONHNR2, Q1, Q2; n = 0-5; R2, R8 = H, alkyl, alkoxy, alkoxycarbonyl, CF3, NO2, cyano, halo; R3 = R2C6H4W(CH2)m; (R2)2C6H3; W = O, S, NR4; m = 1-15; R4 = H, alkyl; R5 = alkyl, mono-, di-, poly-, or perfluoroalkyl, R2C6H4; R6 = H, alkyl, CO2R4, CON(R4)2; R7 = H, Me] are prepared Treatment of I (R1 = 3-NH2; R2 = H; R3 = 4-MeOC6H4; R8 = Me; X = N; Y = O) (preparation given) in CH2Cl2 with (CF3SO2)2O in the presence of Et3N gave I (R1 = 3-CF3SO2NH). The latter at 25 mg/kg intraduodenally showed 24% inhibition of leukotriene-induced bronchospasm in guinea pigs.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

695.09

850.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-76.23

-76.23

STN INTERNATIONAL LOGOFF AT 13:32:22 ON 03 MAY 2004